```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  MAY 01  New CAS web site launched
 NEWS  3  MAY 08  CA/CAplus Indian patent publication number format defined
 NEWS  4  MAY 14  RDISCLOSURE on STN Easy enhanced with new search and display
                  fields
 NEWS  5  MAY 21  BIOSIS reloaded and enhanced with archival data
 NEWS  6  MAY 21  TOXCENTER enhanced with BIOSIS reload
 NEWS  7  MAY 21  CA/CAplus enhanced with additional kind codes for German
                  patents
 NEWS  8  MAY 22  CA/CAplus enhanced with IPC reclassification in Japanese
                  patents
 NEWS  9  JUN 27  CA/CAplus enhanced with pre-1967 CAS Registry Numbers
 NEWS 10  JUN 29  STN Viewer now available
 NEWS 11  JUN 29  STN Express, Version 8.2, now available
 NEWS 12  JUL 02  LEMBASE coverage updated
 NEWS 13  JUL 02  LMEDLINE coverage updated
 NEWS 14  JUL 02  SCISEARCH enhanced with complete author names
 NEWS 15  JUL 02  CHEMCATS accession numbers revised
 NEWS 16  JUL 02  CA/CAplus enhanced with utility model patents from China
 NEWS 17  JUL 16  CAplus enhanced with French and German abstracts
 NEWS 18  JUL 18  CA/CAplus patent coverage enhanced
 NEWS 19  JUL 26  USPATFULL/USPAT2 enhanced with IPC reclassification
 NEWS 20  JUL 30  USGENE now available on STN
 NEWS 21  AUG 06  CAS REGISTRY enhanced with new experimental property tags
 NEWS 22  AUG 06  BEILSTEIN updated with new compounds
 NEWS 23  AUG 06  FSTA enhanced with new thesaurus edition
 NEWS 24  AUG 13  CA/CAplus enhanced with additional kind codes for granted
                  patents
 NEWS 25  AUG 20  CA/CAplus enhanced with CAS indexing in pre-1907 records

 NEWS EXPRESS  29 JUNE 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:23:35 ON 25 AUG 2007

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY      SESSION
FULL ESTIMATED COST                                    0.21         0.21
```

```
FILE 'REGISTRY' ENTERED AT 11:23:45 ON 25 AUG 2007
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   24 AUG 2007  HIGHEST RN 945591-52-6
DICTIONARY FILE UPDATES:  24 AUG 2007  HIGHEST RN 945591-52-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10-520,754.str


L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 11:27:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       16 TO ITERATE

100.0% PROCESSED       16 ITERATIONS                              5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           80 TO      560
PROJECTED ANSWERS:               5 TO      234

L2            5 SEA SSS SAM L1

=> d scan

L2    5 ANSWERS   REGISTRY  COPYRIGHT 2007 ACS on STN
IN    [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(2-hydroxy-4-methylphenyl)-
      1-piperidinyl]butyl]- (9CI)
MF    C30 H33 N3 O2
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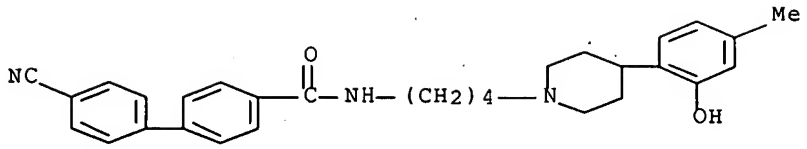

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 5 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-2',4'-dichloro- (9CI)
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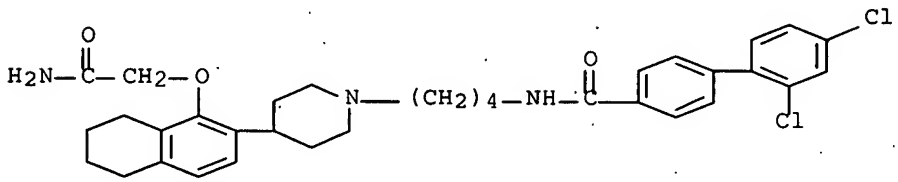
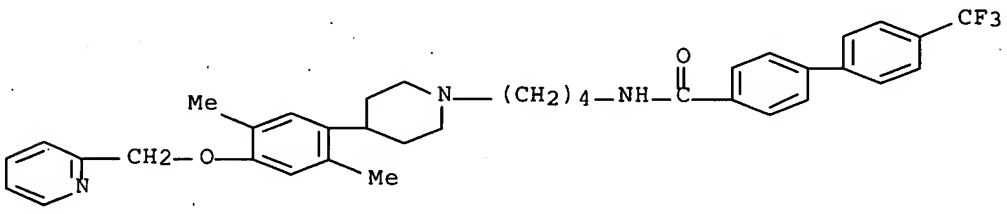


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

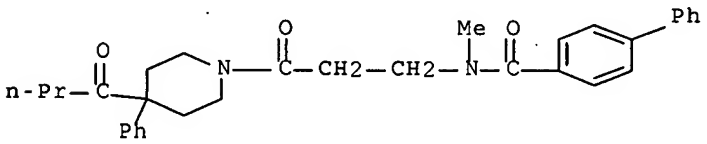
L2 5 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2,5-dimethyl-4-(2-pyridinylmethoxy)phenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI)
MF C37 H40 F3 N3 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 5 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,1'-Biphenyl]-4-carboxamide, N-methyl-N-[3-oxo-3-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]propyl]- (9CI)
MF C32 H36 N2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 5 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,1'-Biphenyl]-4-carboxamide, 2',4'-dichloro-N-[4-[4-[5,6,7,8-tetrahydro-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI)
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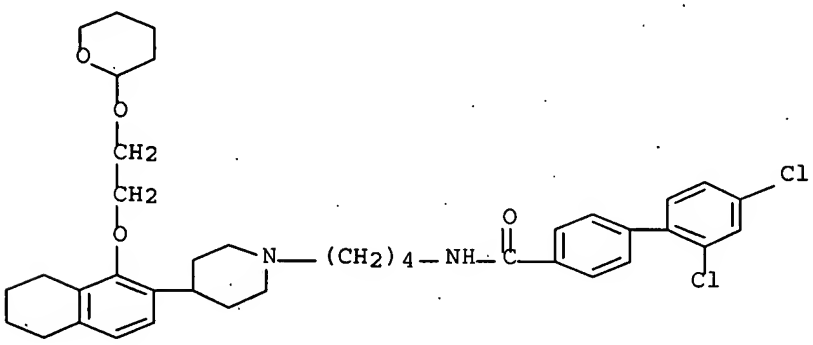

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> 1
1 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l1 sss full

```
FULL SEARCH INITIATED 11:33:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      282 TO ITERATE

100.0% PROCESSED      282 ITERATIONS                          99 ANSWERS
SEARCH TIME: 00.00.01

L3            99 SEA SSS FUL L1

=> l 12 sss full
L IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             179.75     179.96

FILE 'CAPLUS' ENTERED AT 11:34:25 ON 25 AUG 2007
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FILE LAST UPDATED: 24 Aug 2007  (20070824/ED)

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=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y


'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual
fields or predefined formats.  The predefined substance formats
are:  (RN = CAS Registry Number)

REG     - RN
SAM     - Index Name, MF, and structure - no RN
FIDE    - All substance data, except sequence data
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IDE     - FIDE, but only 50 names
SQIDE   - IDE, plus sequence data
SQIDE3  - Same as SQIDE, but 3-letter amino acid codes are used
SQD     - Protein sequence data, includes RN
SQD3    - Same as SQD, but 3-letter amino acid codes are used
SQN     - Protein sequence name information, includes RN

CALC    - Table of calculated properties
EPROP   - Table of experimental properties
PROP    - EPROP and CALC

Any CA File format may be combined with any substance format to
obtain CA references citing the substance.  The substance formats
must be cited first.  The CA File predefined formats are:

ABS  -- Abstract
APPS -- Application and Priority Information
BIB  -- CA Accession Number, plus Bibliographic Data
CAN  -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND  -- Index Data
IPC  -- International Patent Classification
PATS -- PI, SO
STD  -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.

For additional information, please consult the following help
messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):end

=> s l3
L4          15 L3

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 15 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 15  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2006:76664  CAPLUS Full-text
DOCUMENT NUMBER:         144:171017
TITLE:                   Preparation of 4-heterocyclylbutanamide derivatives as
                         modulators of alpha 7 nicotinic acetylcholine
                         receptors
```

INVENTOR(S): Gaviraghi, Giovanni; Ghiron, Chiara; Bothmann, Hendrick; Roncarati, Renza; Terstappenn, Georg Christian
PATENT ASSIGNEE(S): Siena Biotech S.p.A., Italy
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008133	A2	20060126	WO 2005-EP7846	20050719
WO 2006008133	A3	20060323		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005263592	A1	20060126	AU 2005-263592	20050719
CA 2574237	A1	20060126	CA 2005-2574237	20050719
EP 1778658	A2	20070502	EP 2005-764148	20050719
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101018774	A	20070815	CN 2005-80030521	20050719
NO 2007000347	A	20070118	NO 2007-347	20070118
IN 2007KN00222	A	20070629	IN 2007-KN222	20070118

PRIORITY APPLN. INFO.: US 2004-589003P P 20040720
WO 2005-EP7846 W 20050719

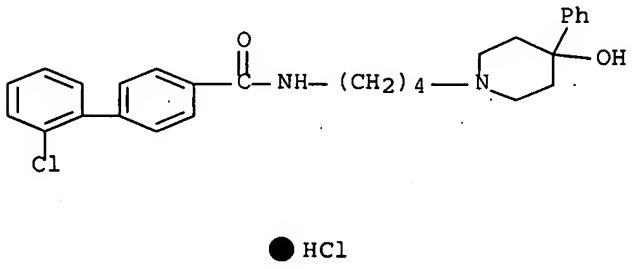
OTHER SOURCE(S): MARPAT 144:171017

AB The title amides with the general formula of R-Q-Y-(CH2)4-X [wherein R = H, OH, CN, NO2, halo, (un)substituted alkyl, (hetero)aryl, etc.; Q = 5-10 membered (hetero)aromatic ring; Y = CONH, SO2NH, OCONH, NHCO2, NHCONH, NHSO2NH, etc.; X = (un)substituted pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, etc.], or salts, isomers, diastereomers, or racemic mixts. thereof were prepared as modulators of α7 nicotinic acetylcholine receptors (nAChR). For example, N-[4-[4-(2,4-dimethoxy-phenyl)-piperazin- 1-yl]-butyl]-4-(pyridin-2-yl)-benzamide was prepared in a multi-step synthesis. The title compds. showed biol. activity with the IC50 between 10 nM and 30 μM against α7 nAChR in rat. The compds. are useful for treatment of diseases, conditions, or dysfunctions involving the α7 nAChR, such as Alzheimer's disease, schizophrenia, neurol., psychiatric, cognitive, immunol., and inflammatory disorders (no data).

IT **874449-43-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-heterocyclylbutanamide derivs. as modulators of α7 nAChR)

RN 874449-43-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 2'-chloro-N-[4-(4-hydroxy-4-phenyl-1-piperidinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:740300 CAPLUS Full-text
DOCUMENT NUMBER: 141:265971
TITLE: Preparation of piperidines as LXR receptor ligands for pharmaceuticals and cosmetics
INVENTOR(S): Diaz, Philippe; Bernardon, Jean-michel; Thoreau, Etienne
PATENT ASSIGNEE(S): Galderma Research & Development, S.N.C., Fr.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004076418	A1	20040910	WO 2004-EP2396		20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
FR 2851769	A1	20040903	FR 2003-2478		20030228
FR 2851769	B1	20060623			
CA 2512886	A1	20040910	CA 2004-2512886		20040219
EP 1599447	A1	20051130	EP 2004-712564		20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2006058351	A1	20060316	US 2005-212714		20050829
PRIORITY APPLN. INFO.:			FR 2003-2478	A	20030228
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			WO 2004-EP2396	W	20040219

OTHER SOURCE(S): MARPAT 141:265971
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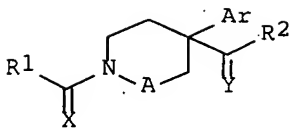
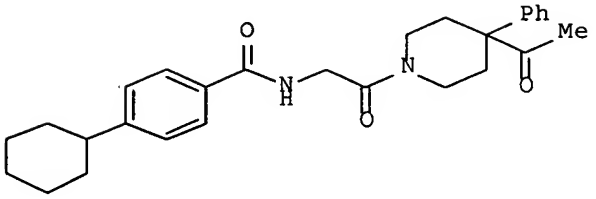


I



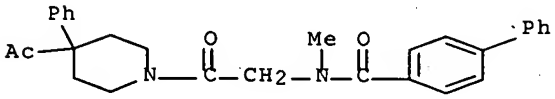
II

AB Piperidines I [wherein R1 = ar/alkyl, hetero/aryl, aralkenyl, etc.; R2 = ar/alkyl, hetero/aryl; Ar = aralkyl, hetero/aryl; X = two H atoms, O, S; Y = O, S; A = (CH2)n; n = 0-1; their optical and geometrical isomers, and their salts] were prepared as LXR receptor ligands for pharmaceutical or cosmetic uses. Two synthetic examples, 10 formulations and 89 claimed compds. are given. For example, a tablet formulation contains piperidine (II) 0.001, starch 0.114, dicalcium phosphate 0.020, silica 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

IT **749246-31-9 749246-67-1 749246-70-6 749246-93-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of piperidines as LXR receptor ligands for pharmaceuticals and cosmetics)

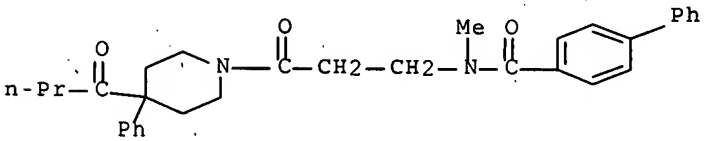
RN 749246-31-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(4-acetyl-4-phenyl-1-piperidinyl)-2-oxoethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 749246-67-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-methyl-N-[3-oxo-3-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 749246-70-6 CAPLUS

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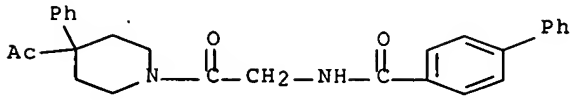
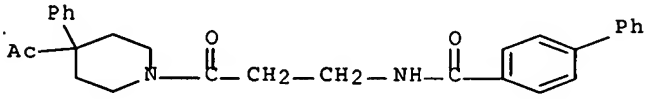
oxoethyl]- (9CI) (CA INDEX NAME)



RN 749246-93-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-(4-acetyl-4-phenyl-1-piperidinyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:719951 CAPLUS Full-text
DOCUMENT NUMBER: 141:248726
TITLE: Preparation of piperidines as LXR receptor ligands for pharmaceuticals and cosmetics
INVENTOR(S): Diaz, Philippe; Bernardon, Jean Michel; Thoreau, Etienne
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.
SOURCE: Fr. Demande, 34 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2851769	A1	20040903	FR 2003-2478	20030228
FR 2851769	B1	20060623		
CA 2512886	A1	20040910	CA 2004-2512886	20040219
WO 2004076418	A1	20040910	WO 2004-EP2396	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1599447	A1	20051130	EP 2004-712564	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006058351	A1	20060316	US 2005-212714	20050829
PRIORITY APPLN. INFO.:			FR 2003-2478 A	20030228
			US 2003-454345P P	20030314
			WO 2004-EP2396 W	20040219

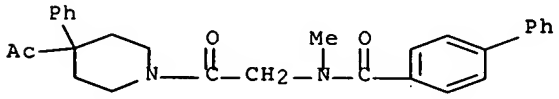
OTHER SOURCE(S): MARPAT 141:248726

AB Piperidines as LXR receptor ligands are prepd. for pharmaceutical or cosmetic uses. A piperidine compound and used in tablet formulation containing the piperidine 0.001, starch 0.114, dicalcium phosphate 0.020, silica 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

IT **749246-31-9 749246-67-1 749246-70-6 749246-93-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of piperidines as LXR receptor ligands for pharmaceuticals and cosmetics)

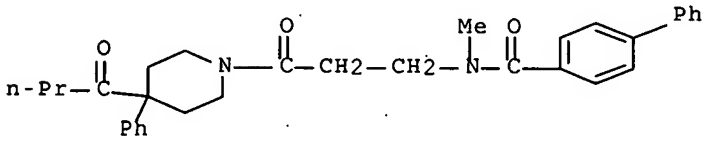
RN 749246-31-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(4-acetyl-4-phenyl-1-piperidinyl)-2-oxoethyl]-N-methyl- (9CI) (CA INDEX NAME)



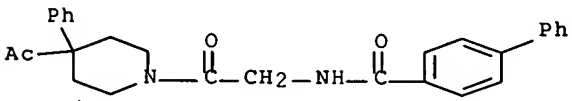
RN 749246-67-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-methyl-N-[3-oxo-3-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



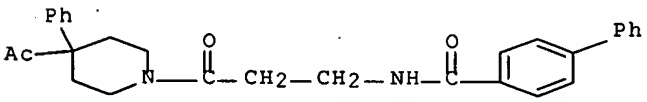
RN 749246-70-6 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(4-acetyl-4-phenyl-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 749246-93-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-(4-acetyl-4-phenyl-1-piperidinyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:344622 CAPLUS Full-text
DOCUMENT NUMBER: 140:357212
TITLE: Preparation of substituted anilinic piperidines as MCH selective antagonists
INVENTOR(S): Marzabadi, Mohammad R.; Wetzel, John; Deleon, John E.; Jiang, Yu; Chen, Chien-An; Lu, Kai
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: U.S., 394 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6727264	B1	20040427	US 2002-188434		20020703
US 2004073036	A1	20040415	US 2003-345063		20030114
US 2006041139	A9	20060223			
US 7105544	B2	20060912			
US 7067534	B1	20060627	US 2003-719358		20031121
US 2004186103	A1	20040923	US 2004-753057		20040106
US 2006084649	A9	20060420			
US 7199135	B2	20070403			
US 2006217418	A1	20060928	US 2005-541991		20050705
US 2007043080	A1	20070222	US 2005-214968		20050830
PRIORITY APPLN. INFO.:			US 2001-303091P	P	20010705
			US 2002-346997P	P	20020109
			US 2002-188434	A2	20020703
			WO 2002-US21063	A2	20020703
			US 2003-345063	A2	20030114
			US 2003-719358	A1	20031121
			WO 2004-US175	W	20040106

OTHER SOURCE(S): MARPAT 140:357212
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

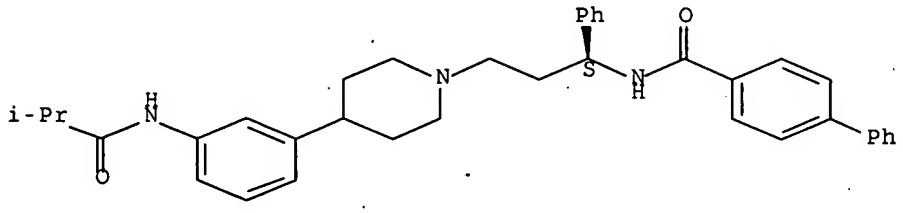
AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (W = III, IV (wherein R1 = H, Me, Et; X = O, NR3, CO, a bond; Y = H, (hetero)aryl; R3 = H, (hetero)aryl); R2 and A as above)] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% V which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

IT **487051-52-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 487051-52-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-3-[4-[3-[(2-methyl-1-

oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

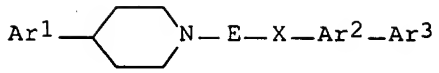


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60507 CAPLUS Full-text
DOCUMENT NUMBER: 140:128279
TITLE: Preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia
INVENTOR(S): Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007493	A1	20040122	WO 2003-EP7617	20030711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003246696	A1	20040202	AU 2003-246696	20030711
PRIORITY APPLN. INFO.:			GB 2002-16230 A	20020712
			WO 2003-EP7617 W	20030711

OTHER SOURCE(S): MARPAT 140:128279
GI



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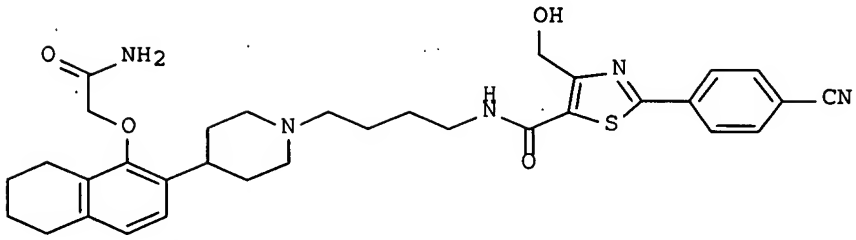


II

AB The title compds. [I; Ar1 = Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar2 = Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar3 = Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene; X = CONR2, NR2CO; R2 = alkyl, H] which up-regulate LDL receptor (LDL-r) expression, were prepared More particularly, this invention relates to the compds. I wherein Ar1 is substituted by at least one R1 group selected from O(CRaRb)nC(O)NRxRy, O(CH2)nCN, O(CH2)nO(CH2)mOR2, O(CH2)nCO2R2, OSO2NRxRy, OSO2(CH2)pCH3, (CRaRb)nCONRxRy, (CH2)nCN, (CH2)nO(CH2)mOR2, (CH2)nCO2R2, (CH2)nCOR2, SO2NRxRy, SO2(CH2)pCH3, CH:CHCONRxRy, CH:CHCN, CH:CHCO2R2, CO2R2, COR2, CONRxRy and alkenyl (wherein Rx, Ry = H, alkyl; Ra, Rb = H, alkyl, cycloalkyl, where Ra and Rb are not both cycloalkyl; n, m = 1-4; p = 0-4); and Ar2 is substituted by 1-4 groups independently selected from the group consisting of: (CH2)nOH and CO2(CH2)pCH3. E.g., a multi-step synthesis of II which showed EC50 of 26 nM in the luciferase assay, was given. The pharmaceutical composition comprising the title compound I is claimed.

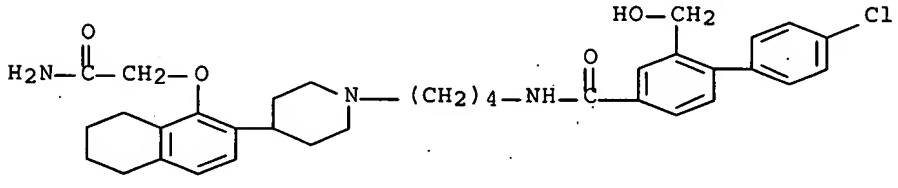
IT **648882-50-2P 648882-51-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

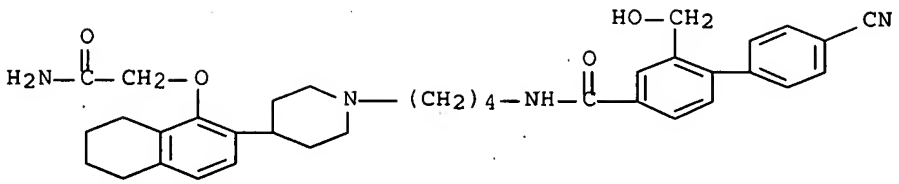
RN 648882-50-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-chloro-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 648882-51-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-cyano-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

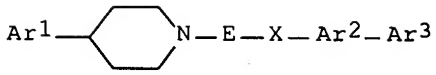


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60313 CAPLUS Full-text
DOCUMENT NUMBER: 140:128277
TITLE: Preparation of arylpiperidines and their use to reduce elevated levels of LDL-cholesterol
INVENTOR(S): Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006924	A1	20040122	WO 2003-EP7615	20030711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257460	A1	20040202	AU 2003-257460	20030711
EP 1534280	A1	20050601	EP 2003-763848	20030711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006122432	A1	20060608	US 2005-520754	20050110
PRIORITY APPLN. INFO.:			GB 2002-16233	A 20020712
			WO 2003-EP7615	W 20030711

OTHER SOURCE(S): MARPAT 140:128277
GI



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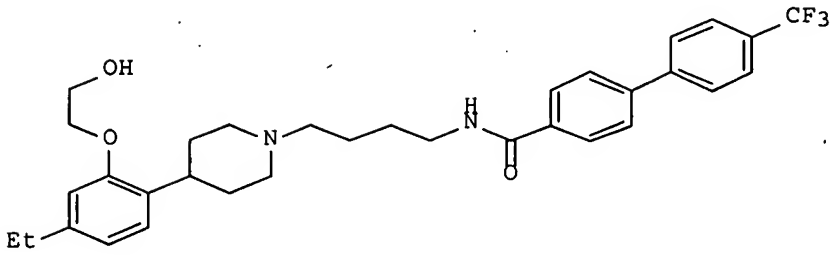


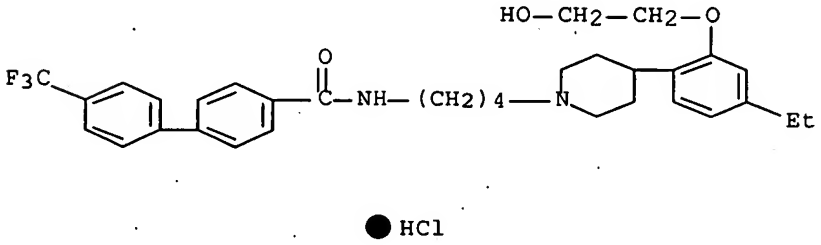
II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene, X = CONR2, NR2CO; R2 = H, alkyl] which up-regulate LDL receptor (LDL-r) expression, were prepared E.g., a multi-step synthesis of II, was given. All exemplified compds. I induced luciferase activity having EC50 values in the range 1 nM to 64 nM in in vitro assay. The pharmaceutical composition comprising the compound I is claimed.

IT **648888-36-2P 648888-38-4P 648888-42-0P**
648888-45-3P 648888-53-3P 648888-55-5P
648888-59-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperidines for reducing elevated levels of LDL-cholesterol)

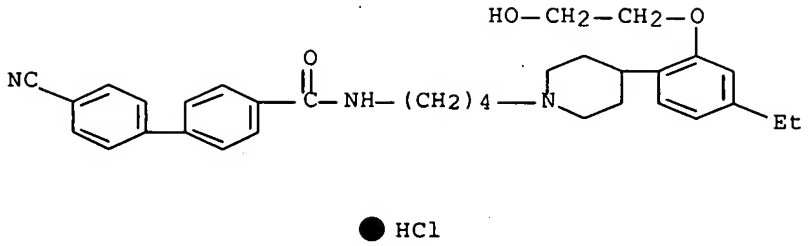
RN 648888-36-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[4-ethyl-2-(2-hydroxyethoxy)phenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



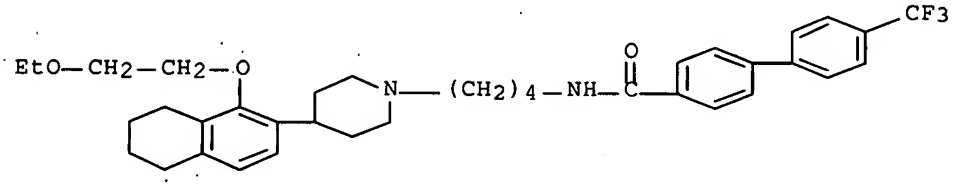
RN 648888-38-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[4-ethyl-2-(2-hydroxyethoxy)phenyl]-1-piperidinyl]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

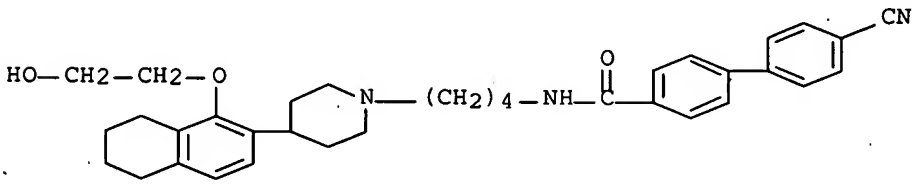


● HCl

RN 648888-42-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-ethoxyethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

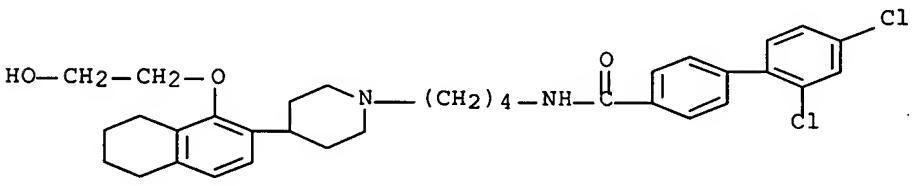


RN 648888-45-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[5,6,7,8-tetrahydro-1-(2-hydroxyethoxy)-2-naphthalenyl]-1-piperidinyl]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

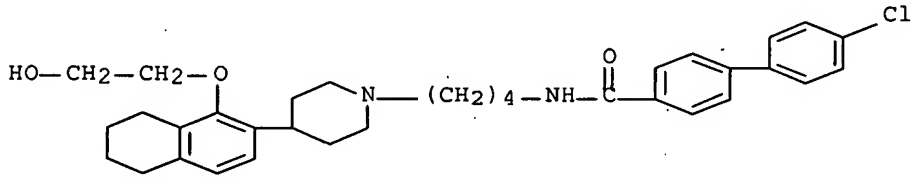


● HCl

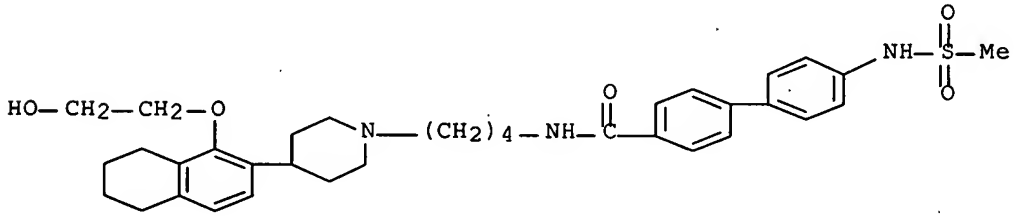
RN 648888-53-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2',4'-dichloro-N-[4-[4-[5,6,7,8-tetrahydro-1-(2-hydroxyethoxy)-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648888-55-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-[4-[5,6,7,8-tetrahydro-1-(2-hydroxyethoxy)-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

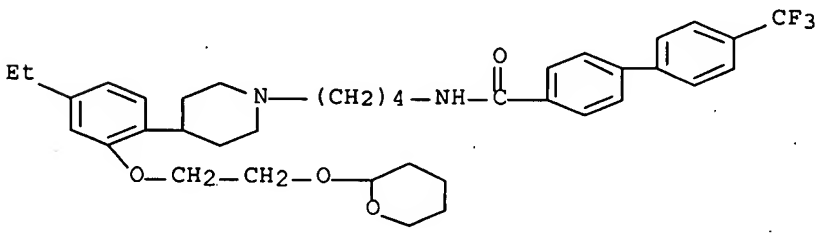


RN 648888-59-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-[(methylsulfonyl)amino]-N-[4-[4-[5,6,7,8-tetrahydro-1-(2-hydroxyethoxy)-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



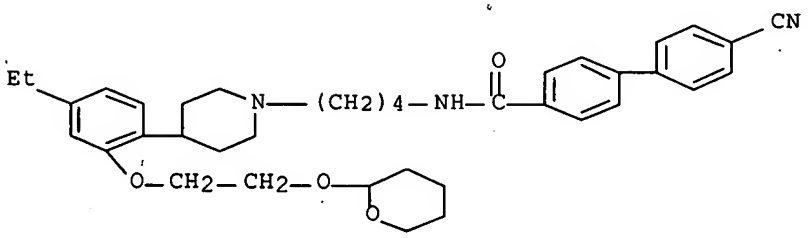
IT **648888-69-1P 648888-71-5P 648888-87-3P**
648888-97-5P 648889-00-3P 648889-11-6P
648889-13-8P 648889-23-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpiperidines for reducing elevated levels of LDL-cholesterol)

RN 648888-69-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[4-ethyl-2-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]phenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

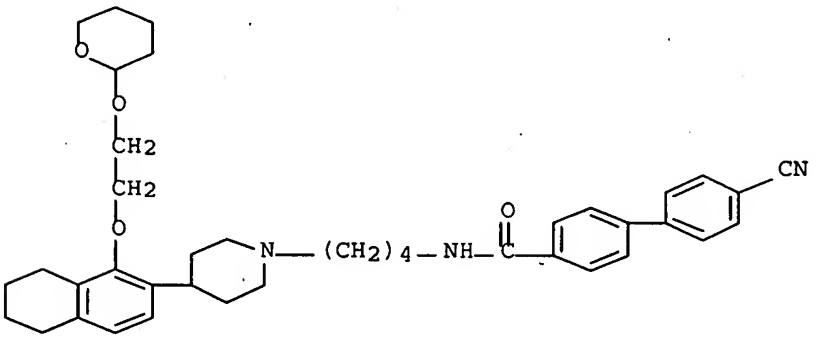


RN 648888-71-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[4-ethyl-2-[2-[(tetrahydro-

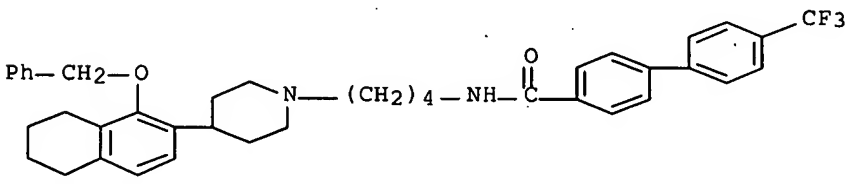
2H-pyran-2-yl)oxy]ethoxy]phenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



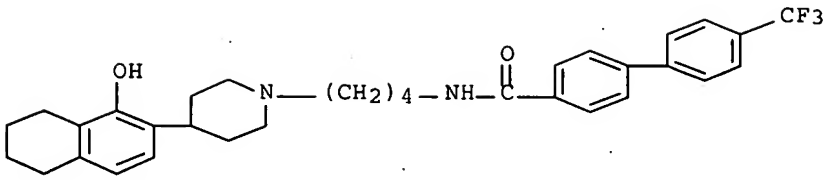
RN 648888-87-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[5,6,7,8-tetrahydro-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



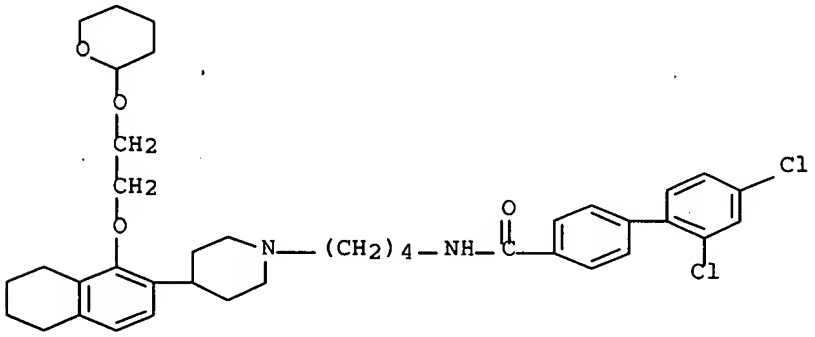
RN 648888-97-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[5,6,7,8-tetrahydro-1-(phenylmethoxy)-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



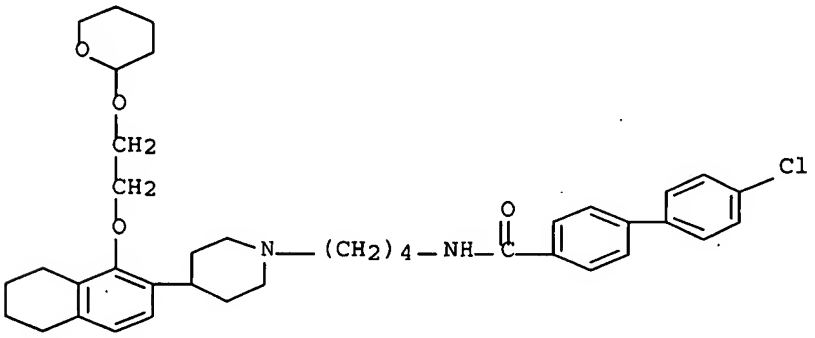
RN 648889-00-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



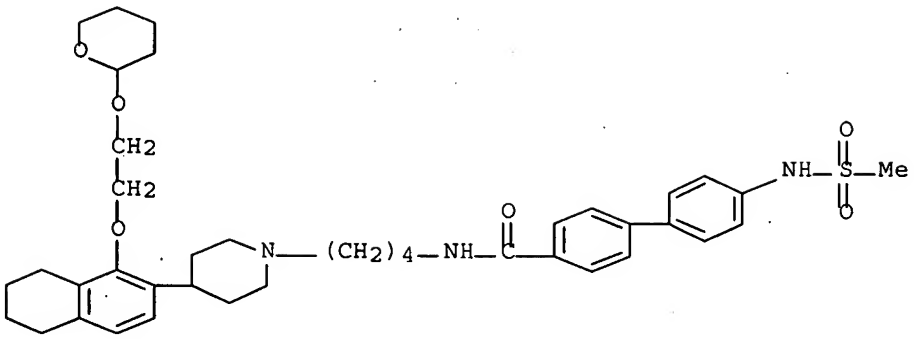
RN 648889-11-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2',4'-dichloro-N-[4-[4-[5,6,7,8-tetrahydro-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648889-13-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-[4-[5,6,7,8-tetrahydro-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648889-23-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-[(methylsulfonyl)amino]-N-[4-[4-[5,6,7,8-tetrahydro-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

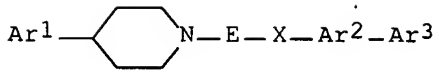


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60312 CAPLUS Full-text
DOCUMENT NUMBER: 140:128276
TITLE: Preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia
INVENTOR(S): Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006923	A1	20040122	WO 2003-EP7613	20030711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003250058	A1	20040202	AU 2003-250058	20030711
PRIORITY APPLN. INFO.:			GB 2002-16252 A	20020712
			WO 2003-EP7613 W	20030711

OTHER SOURCE(S): MARPAT 140:128276
GI



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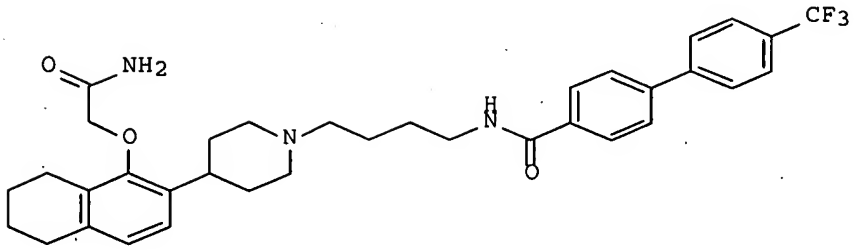


II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene; X = CONR2, NR2CO; R2 = alkyl, H] which up-regulate LDL receptor (LDL-r) expression, were prepared More particularly, this invention relates to the compds. I wherein Ar1 is substituted by at least one R1 group selected from O(CRaRb)nC(O)NRxRy, O(CH2)nCN, O(CH2)nO(CH2)mOR2, O(CH2)nCO2R2, OSO2NRxRy, OSO2(CH2)pCH3, (CRaRb)nCONRxRy, (CH2)nCN, (CH2)nO(CH2)mOR2, (CH2)nCO2R2, (CH2)nCOR2, SO2NRxRy, SO2(CH2)pCH3, CH:CHCONRxRy, CH:CHCN, CH:CHCO2R2, CO2R2, COR2, CONRxRy and alkenyl (wherein Rx, Ry = H, alkyl; Ra, Rb = H, alkyl, cycloalkyl, where Ra and Rb are not both cycloalkyl; n, m = 1-4; p = 0-4); and Ar2 is substituted by 1-4 groups independently selected from the group consisting of: (CH2)nOH and C02(CH2)pCH3. E.g., a multi-step synthesis of II, was given. All exemplified compds. I induced luciferase activity having EC50 values in the range 1 nM to 800 nM. The pharmaceutical composition comprising the title compound I is claimed.

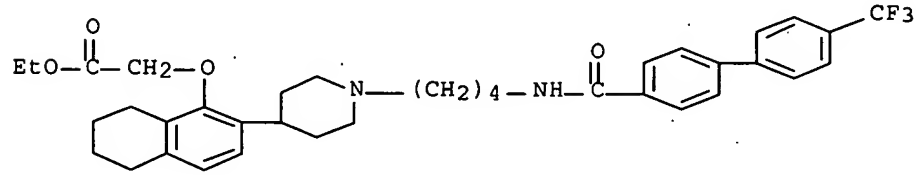
IT **648897-48-7P 648897-53-4P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

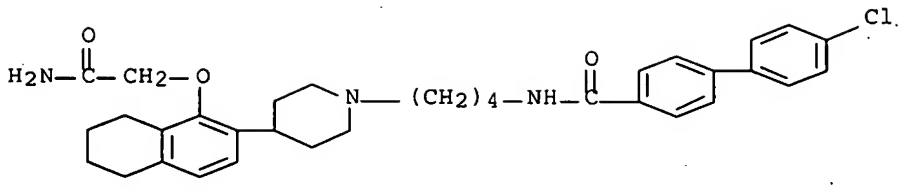
RN 648897-48-7 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-2-[1-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]amino]butyl]-4-piperidinyl]-1-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



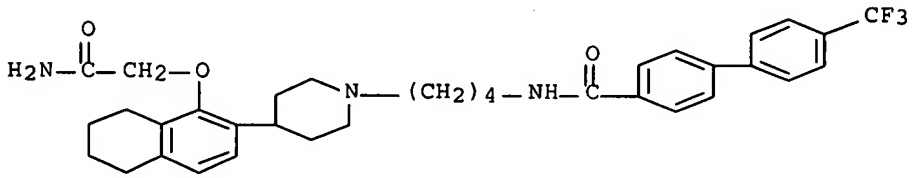
RN 648897-53-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-chloro- (9CI) (CA INDEX NAME)

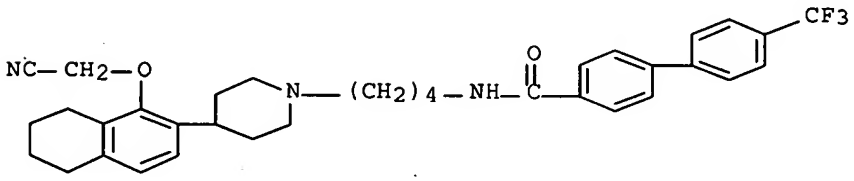


IT **648897-41-0P 648897-42-1P 648897-43-2P**
648897-44-3P 648897-49-8P 648897-50-1P
648897-51-2P 648897-52-3P 648897-54-5P
648897-55-6P 648897-59-0P 648897-60-3P
648897-61-4P 648897-65-8P 648897-68-1P
648897-69-2P 648897-70-5P 648897-76-1P
648897-77-2P 648897-80-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

RN 648897-41-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

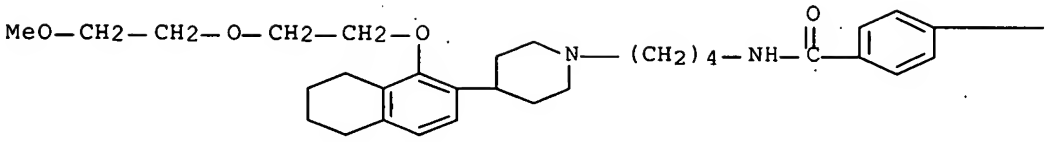


RN 648897-42-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(cyanomethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

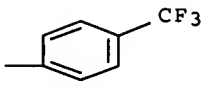


RN 648897-43-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[5,6,7,8-tetrahydro-1-[2-(2-methoxyethoxy)ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

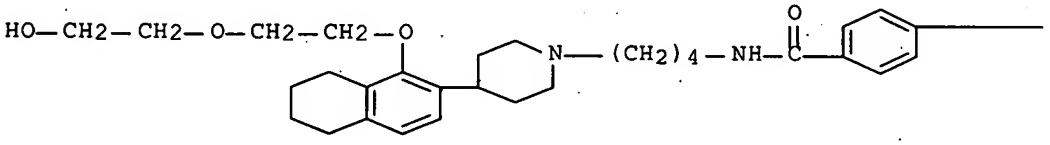


PAGE 1-B

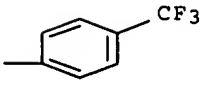


RN 648897-44-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[5,6,7,8-tetrahydro-1-[2-(2-hydroxyethoxy)ethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

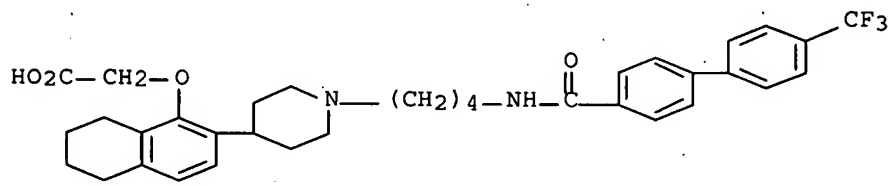
PAGE 1-A



PAGE 1-B

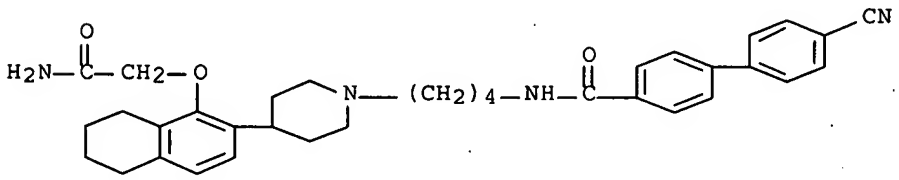


RN 648897-49-8 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-2-[1-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]amino]butyl]-4-piperidinyl]-1-naphthalenyl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

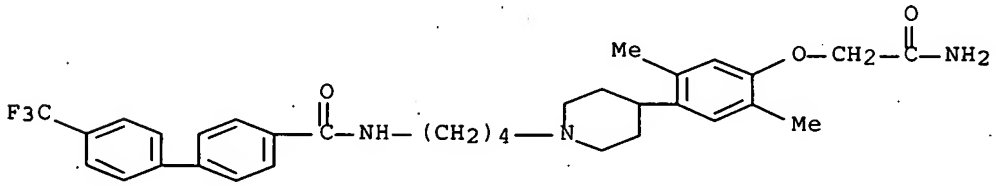


● HCl

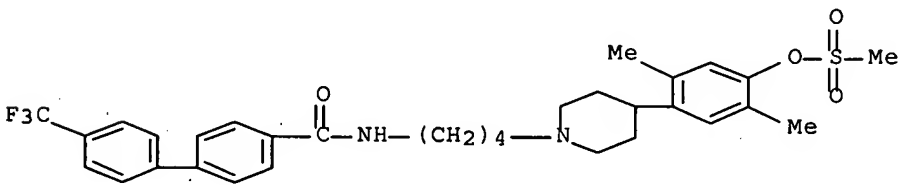
RN 648897-50-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)



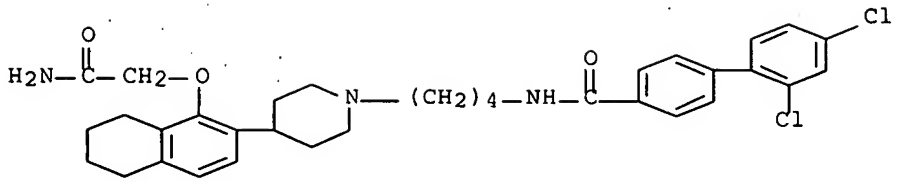
RN 648897-51-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[4-(2-amino-2-oxoethoxy)-2,5-dimethylphenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



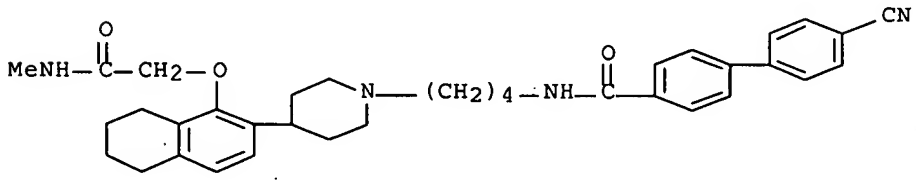
RN 648897-52-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2,5-dimethyl-4-[(methylsulfonyl)oxy]phenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



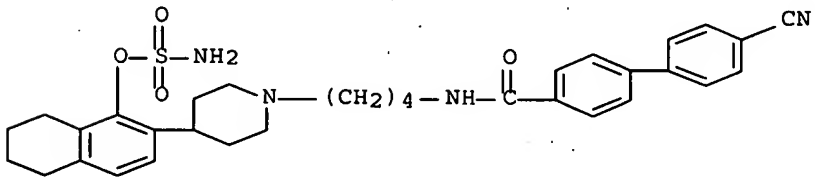
RN 648897-54-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-2',4'-dichloro- (9CI) (CA INDEX NAME)



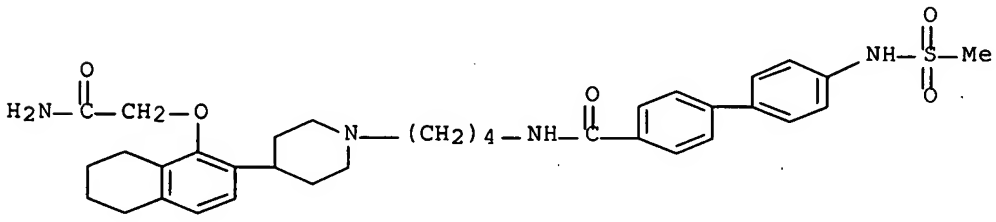
RN 648897-55-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[5,6,7,8-tetrahydro-1-[2-(methylamino)-2-oxoethoxy]-2-naphthalenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648897-59-0 CAPLUS
CN Sulfamic acid, 2-[1-[4-[[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5,6,7,8-tetrahydro-1-naphthalenyl ester (9CI) (CA INDEX NAME)

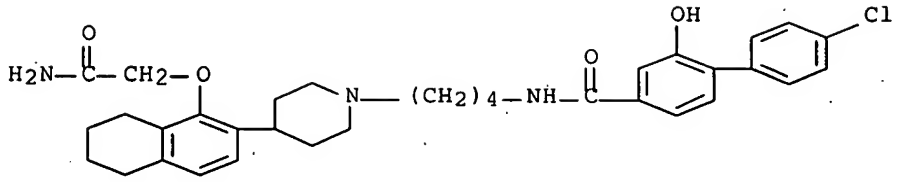


RN 648897-60-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

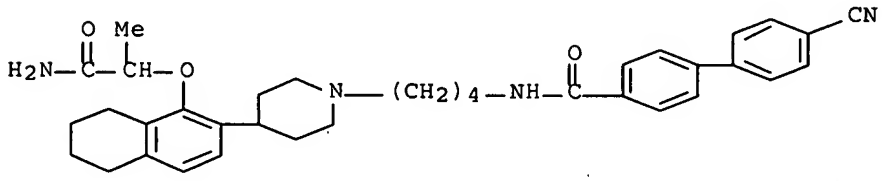


RN 648897-61-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-chloro-2-hydroxy- (9CI)

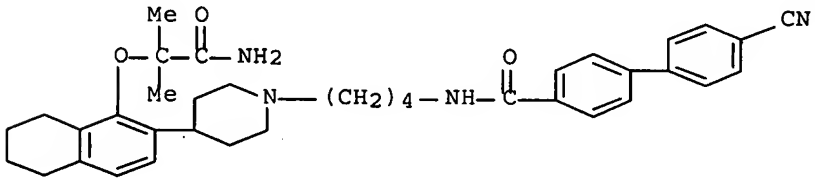
(CA INDEX NAME)



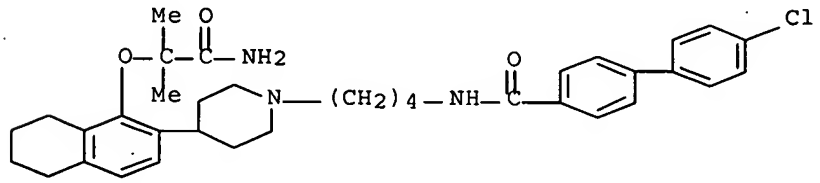
RN 648897-65-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-1-methyl-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)



RN 648897-68-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-1,1-dimethyl-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)

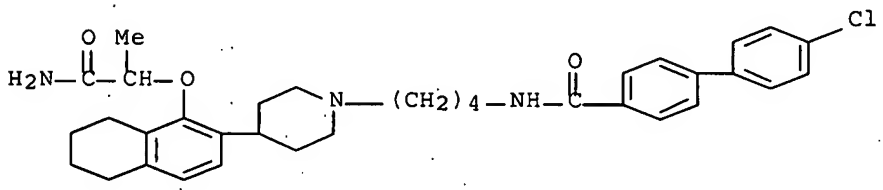


RN 648897-69-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-1,1-dimethyl-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-chloro- (9CI) (CA INDEX NAME)

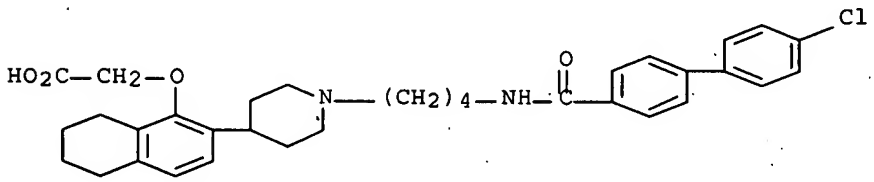


RN 648897-70-5 CAPLUS

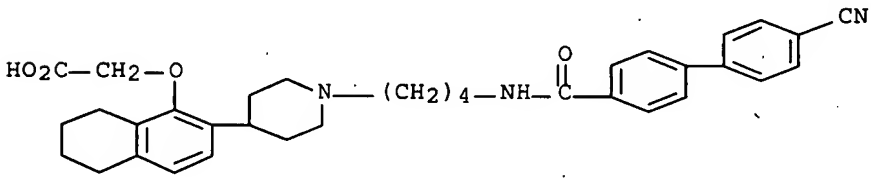
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-amino-1-methyl-2-oxoethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-chloro- (9CI) (CA INDEX NAME)



RN 648897-76-1 CAPLUS
CN Acetic acid, [[2-[1-[4-[[(4'-chloro[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

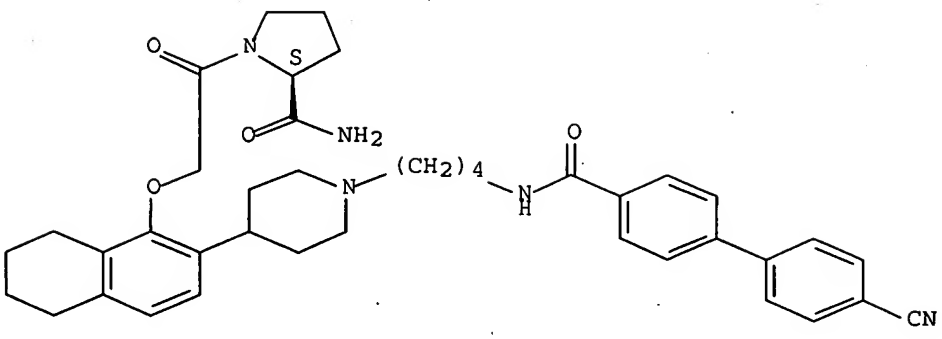


RN 648897-77-2 CAPLUS
CN Acetic acid, [[2-[1-[4-[[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)



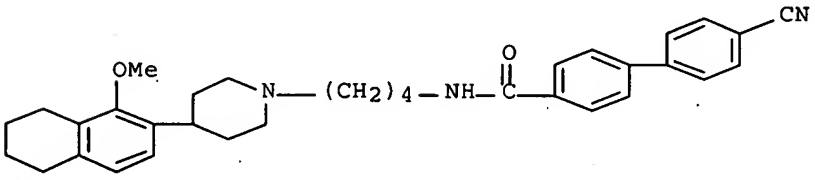
RN 648897-80-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[[[2-[1-[4-[[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

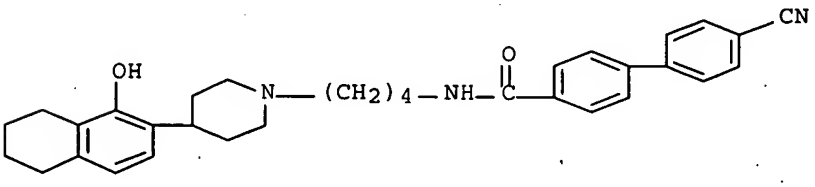


IT **443130-75-4P 443130-79-8P 648888-97-5P**
648889-00-3P 648897-93-2P 648897-94-3P
648897-95-4P 648897-96-5P 648898-19-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

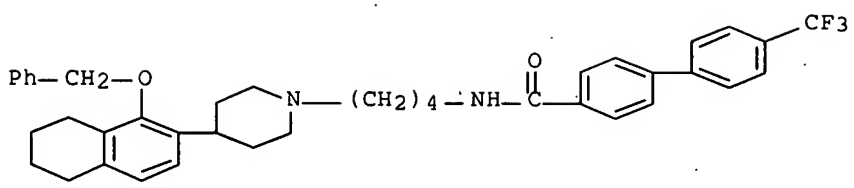
RN 443130-75-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



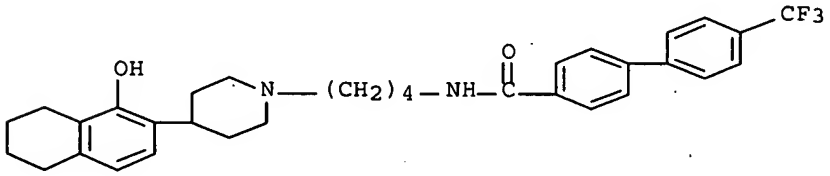
RN 443130-79-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



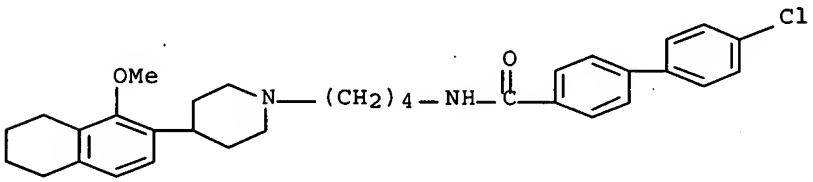
RN 648888-97-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[5,6,7,8-tetrahydro-1-(phenylmethoxy)-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



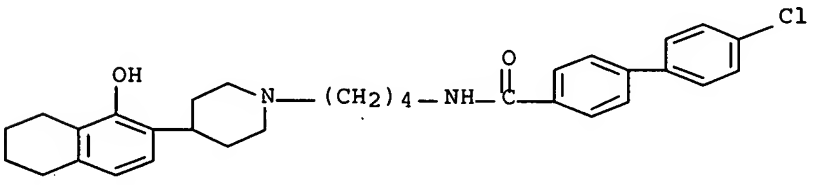
RN 648889-00-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



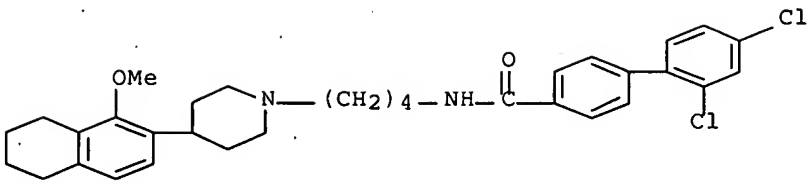
RN 648897-93-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



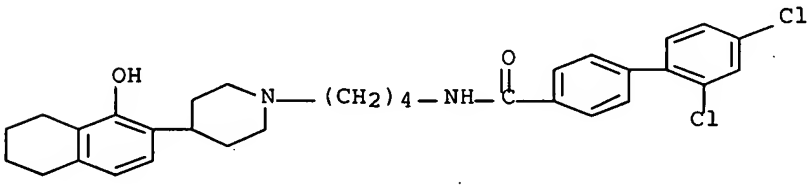
RN 648897-94-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



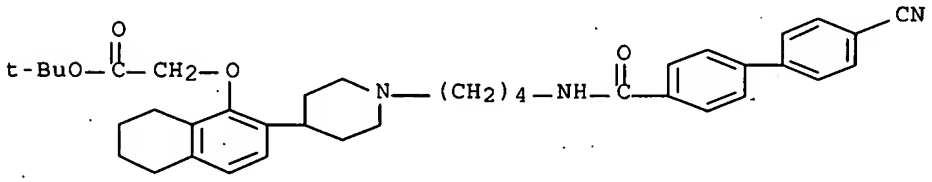
RN 648897-95-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2',4'-dichloro-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648897-96-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2',4'-dichloro-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648898-19-5 CAPLUS
CN Acetic acid, [[2-[1-[4-[[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60311 CAPLUS Full-text
DOCUMENT NUMBER: 140:128275
TITLE: Preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia
INVENTOR(S): Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006922	A1	20040122	WO 2003-EP7612	20030711

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003246694	A1	20040202	AU 2003-246694		20030711
EP 1539158	A1	20050615	EP 2003-763846		20030711
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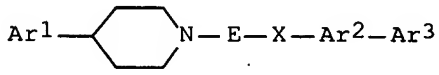
US 2006052384	A1	20060309	US 2005-520799		20050110
PRIORITY APPLN. INFO.:			GB 2002-16224	A	20020712
			WO 2003-EP7612	W	20030711

OTHER SOURCE(S): MARPAT 140:128275

GI



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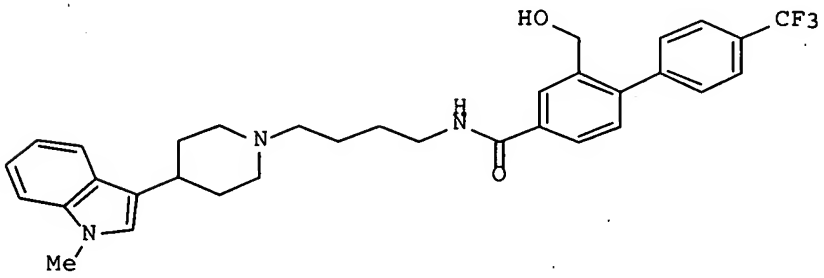


II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene; X = CONRa, NRaCO; Ra = alkyl, H] which up-regulate LDL receptor (LDL-r) expression, were prepared E.g., a multi-step synthesis of II, was given. All exemplified compds. I induced luciferase activity having EC50 values in the range 1 nM to 300 nM. The pharmaceutical composition comprising the title compound I is claimed.

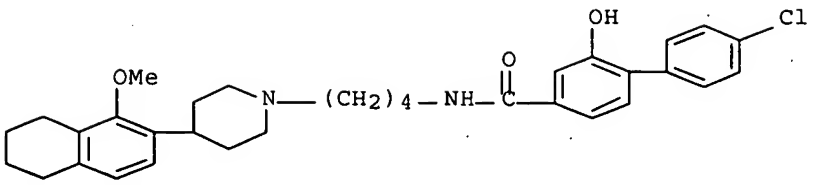
IT **649557-04-0P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

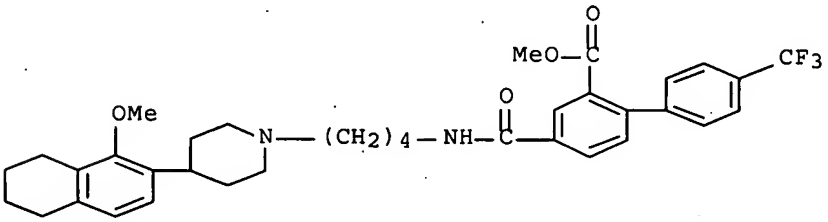
RN 649557-04-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-2-hydroxy-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

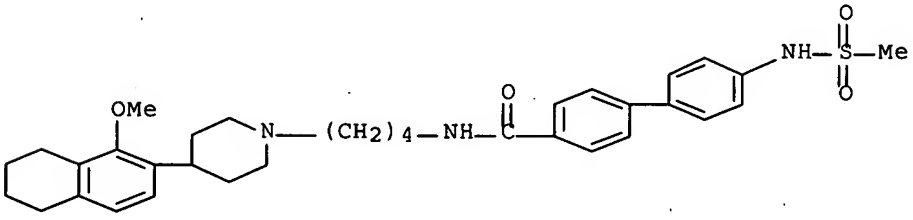


IT **649556-87-6P 649556-96-7P 649556-97-8P**
649556-98-9P 649557-01-7P 649557-03-9P
649557-05-1P 649557-07-3P 649557-08-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

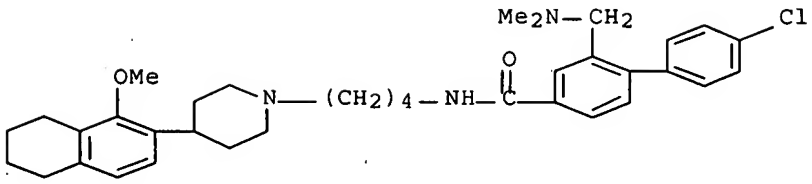
RN 649556-87-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4-[[[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]amino]carbonyl]-4'-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



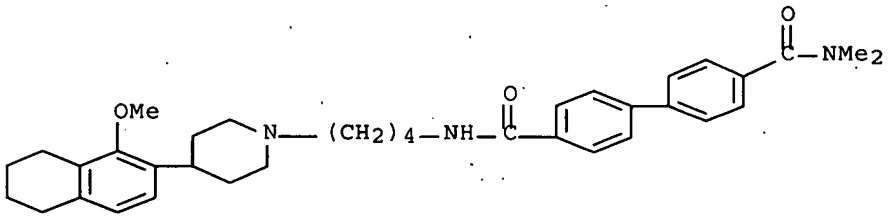
RN 649556-96-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-[(methylsulfonyl)amino]-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



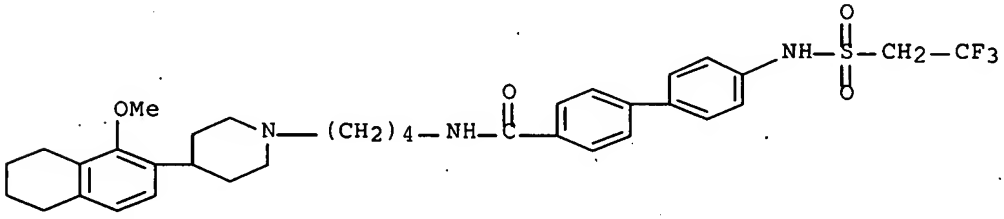
RN 649556-97-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-2-[(dimethylamino)methyl]-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



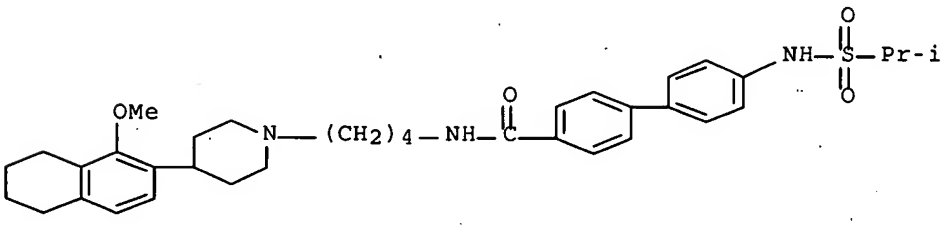
RN 649556-98-9 CAPLUS
CN [1,1'-Biphenyl]-4,4'-dicarboxamide, N,N-dimethyl-N'-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 649557-01-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-[[(2,2,2-trifluoroethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

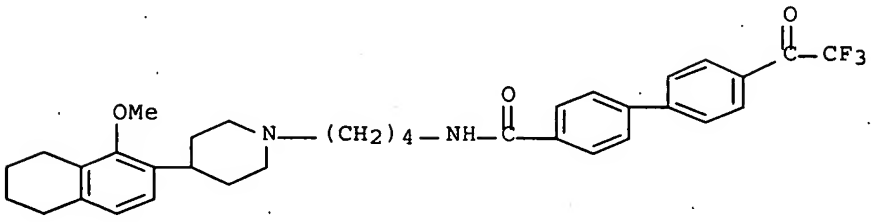


RN 649557-03-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-[[(1-methylethyl)sulfonyl]amino]-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

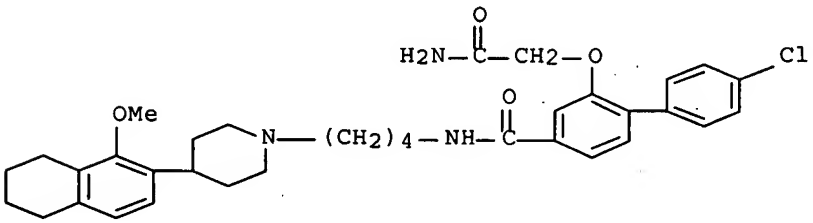


RN 649557-05-1 CAPLUS

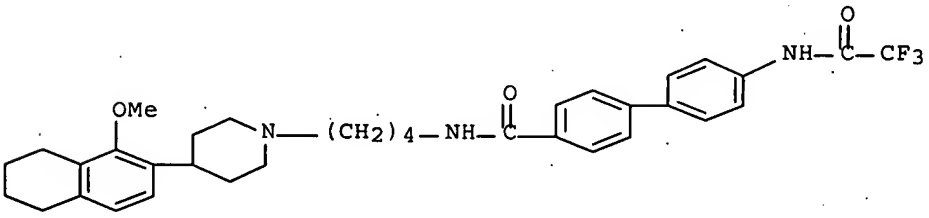
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 649557-07-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2-(2-amino-2-oxoethoxy)-4'-chloro-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

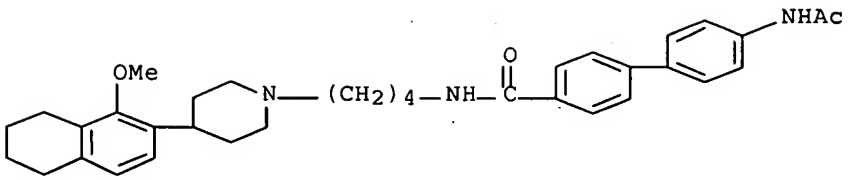


RN 649557-08-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

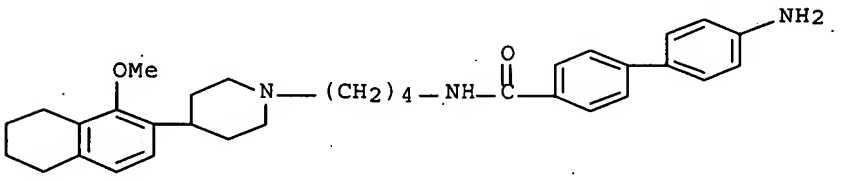


IT **649557-60-8P 649557-61-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

RN 649557-60-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-(acetylamino)-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 649557-61-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-amino-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:42108 CAPLUS Full-text
DOCUMENT NUMBER: 138:106601
TITLE: Preparation of substituted anilinic piperidines as MCH selective antagonists
INVENTOR(S): Marzabadi, Mohammad R.; Wetzel, John; Deleon, John E.; Jiang, Yu
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 771 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004027	A1	20030116	WO 2002-US21063	20020703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2454613	A1	20030116	CA 2002-2454613	20020703
AU 2002316531	A1	20030121	AU 2002-316531	20020703
EP 1411942	A1	20040428	EP 2002-746843	20020703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

BR 2002010869	A	20040629	BR 2002-10869		20020703
JP 2004536104	T	20041202	JP 2003-510038		20020703
HU 200401880	A2	20050128	HU 2004-1880		20020703
ZA 200309860	A	20050311	ZA 2003-9860		20020703
CN 1671386	A	20050921	CN 2002-817212		20020703
NZ 530221	A	20060331	NZ 2002-530221		20020703
US 2004073036	A1	20040415	US 2003-345063		20030114
US 2006041139	A9	20060223			
US 7105544	B2	20060912			
MX 2003PA11886	A	20050307	MX 2003-PA11886		20031218
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US 2006084649	A9	20060420			
US 7199135	B2	20070403			
IN 2004CN00230	A	20051209	IN 2004-CN230		20040205
PRIORITY APPLN. INFO.:			US 2001-899794	A	20010705
			US 2002-42582	A	20020109
			US 2001-303091P	P	20010705
			US 2002-346997P	P	20020109
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			WO 2002-US21063	W	20020703
			US 2003-345063	A2	20030114

OTHER SOURCE(S): MARPAT 138:106601

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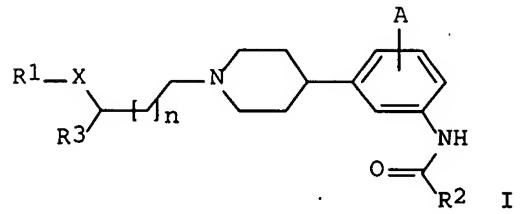

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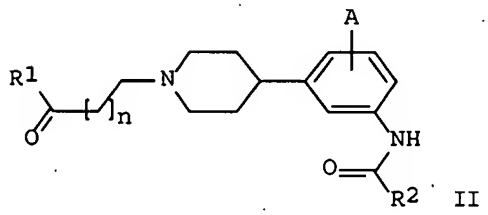


II

AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (R1 = (un)substituted (hetero)aryl; R2, A, n as above), etc.] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared and formulated. Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% II [R1 = R1 = 3,4-Me2C6H3; R2 = iso-Pr; A = H; n = 2] which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

IT **487051-52-5P**

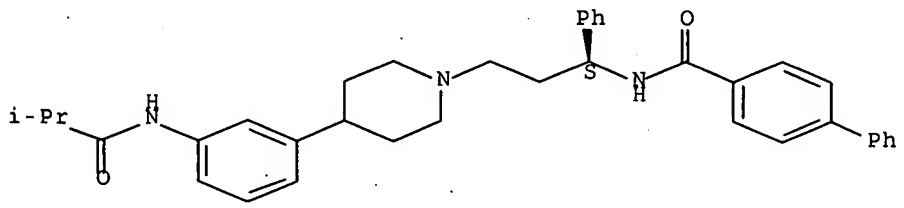
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 487051-52-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:539659 CAPLUS Full-text

DOCUMENT NUMBER: 137:109209

TITLE: Preparation of aryl piperidine derivatives as inducers of LDL-receptor expression

INVENTOR(S): Bouillot, Anne Marie Jeanne; Bombrun, Agnes; Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

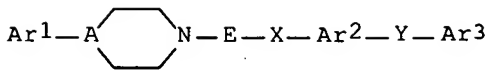
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055497	A1	20020718	WO 2001-GB159	20010115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001225370	A1	20020724	AU 2001-225370	20010115
EP 1351937	A1	20031015	EP 2001-900548	20010115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520348	T	20040708	JP 2002-556169	20010115
US 2004147557	A1	20040729	US 2003-250721	20031201

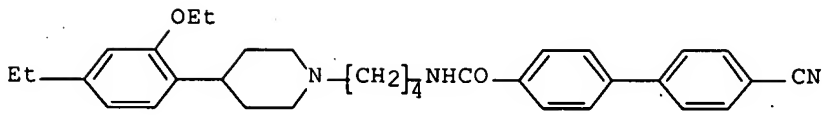
PRIORITY APPLN. INFO.: WO 2001-GB159 W 20010115

OTHER SOURCE(S): MARPAT 137:109209

GI



I



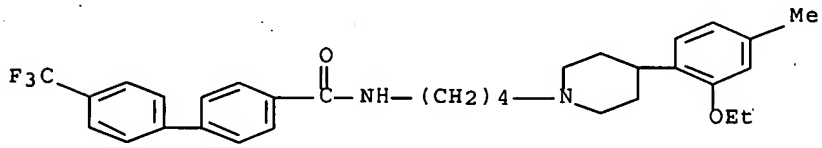
II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl; Ar3 = (un)substituted Ph, 5-6 membered heteroaryl; A = CH; E = alkylene; X = CONH, CON(alkyl), NHCO, N(alkyl)CO; Y = a direct link], useful in treating disorders associated with elevated levels of circulating LDL-cholesterol, were prepared and formulated. Thus, amidation of 4-[4-(2-ethoxy-4- ethylphenyl)-piperidin-1-yl]butylamine (preparation given) with 4'-cyanobiphenyl-4-carboxylic acid afforded 30% II which showed IC50 of 10 nM in vitro assay for LDL-r promoter activity.

IT **443130-65-2P 443130-66-3P 443130-67-4P**
443130-68-5P 443130-69-6P 443130-70-9P
443130-71-0P 443130-72-1P 443130-73-2P
443130-74-3P 443130-75-4P 443130-76-5P
443130-78-7P 443130-79-8P 443130-80-1P
443130-81-2P 443130-82-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl piperidine derivs. as inducers of LDL-receptor expression)

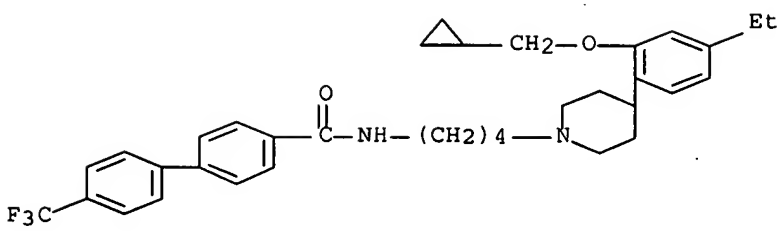
RN 443130-65-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(2-ethoxy-4-methylphenyl)-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

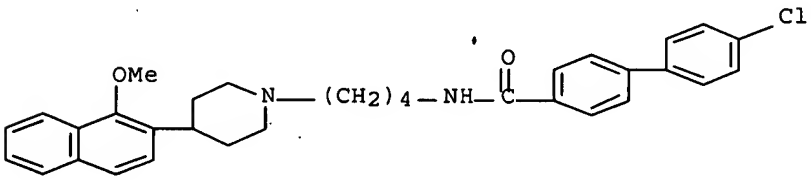


RN 443130-66-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2-(cyclopropylmethoxy)-4-ethylphenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

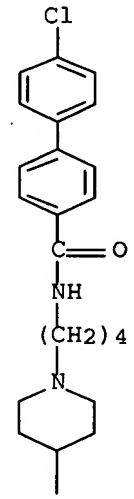


RN 443130-67-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-[4-(1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

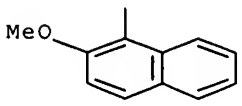


RN 443130-68-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-[4-(2-methoxy-1-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

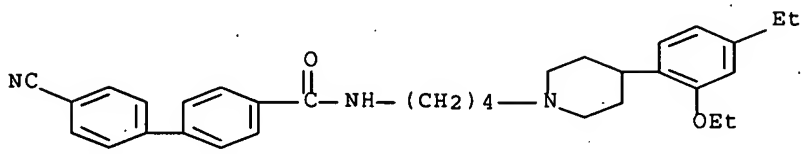
PAGE 1-A



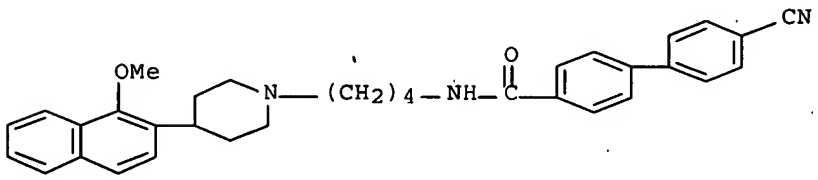
PAGE 2-A



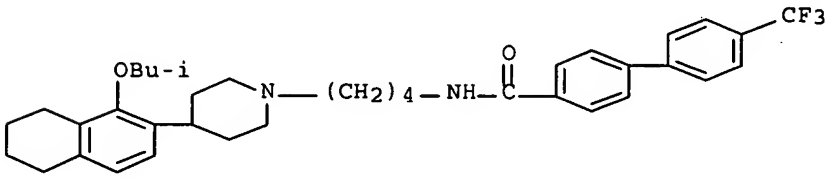
RN 443130-69-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(2-ethoxy-4-ethylphenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



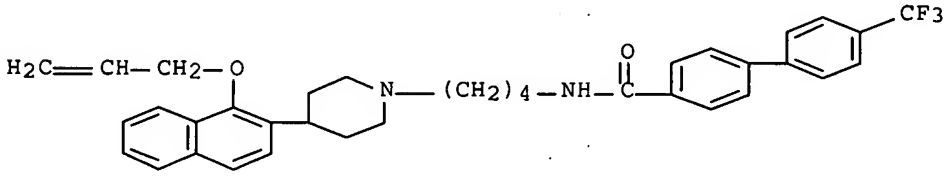
RN 443130-70-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443130-71-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[5,6,7,8-tetrahydro-1-(2-methylpropoxy)-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

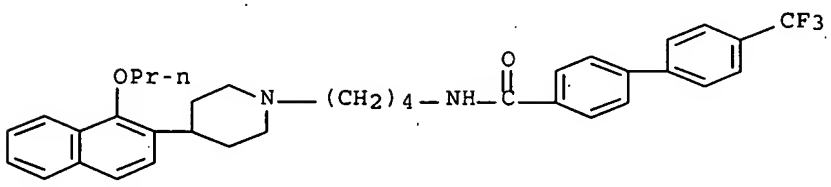


RN 443130-72-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(2-propenyloxy)-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

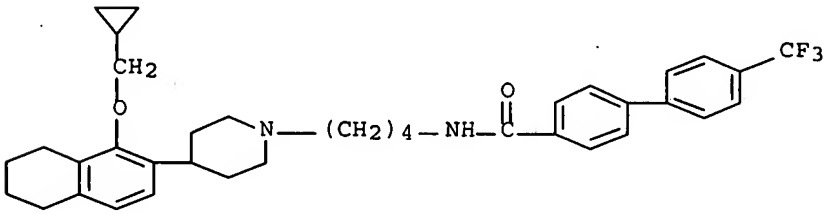


RN 443130-73-2 CAPLUS

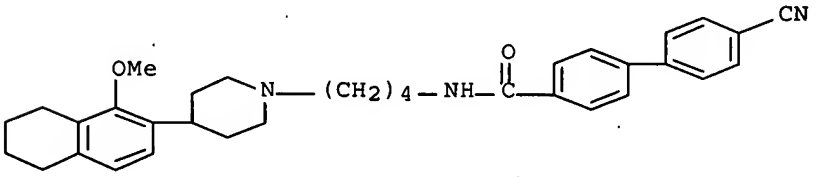
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(1-propoxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



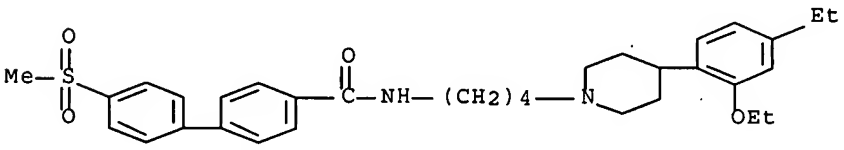
RN 443130-74-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(cyclopropylmethoxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 443130-75-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

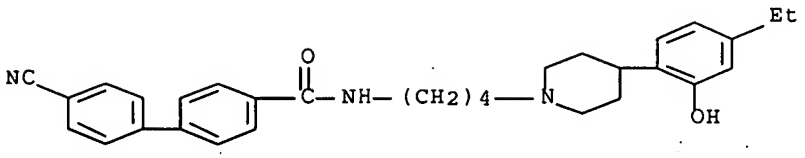


RN 443130-76-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(2-ethoxy-4-ethylphenyl)-1-piperidinyl]butyl]-4'-(methylsulfonyl)- (9CI) (CA INDEX NAME)

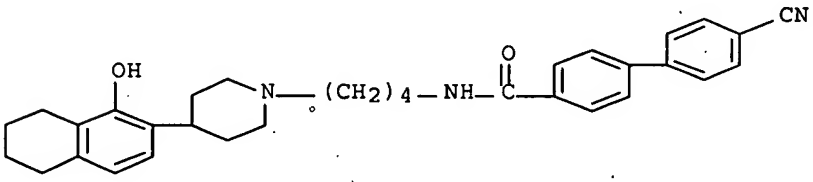


RN 443130-78-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(4-ethyl-2-hydroxyphenyl)-

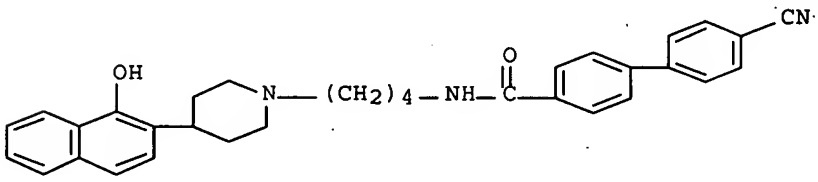
1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



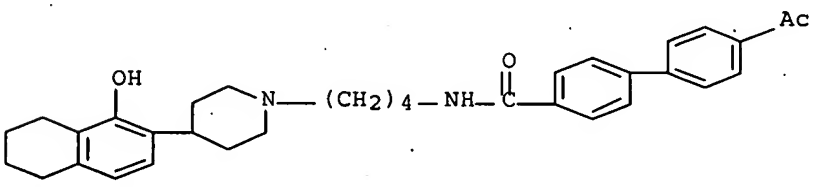
RN 443130-79-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443130-80-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443130-81-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-acetyl-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443130-82-3 CAPLUS
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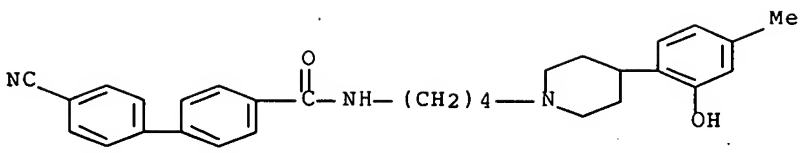
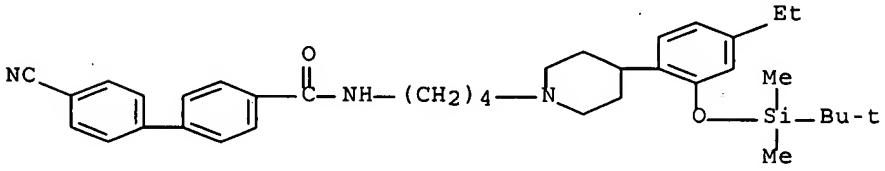
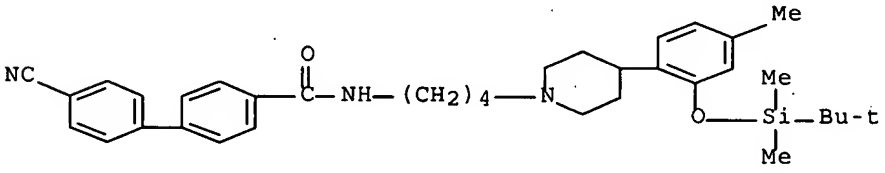


IT **443131-29-1P 443131-40-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl piperidine derivs. as inducers of LDL-receptor expression)
RN 443131-29-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-ethylphenyl]-1-piperidinyl]butyl]-(9CI) (CA INDEX NAME)



RN 443131-40-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-methylphenyl]-1-piperidinyl]butyl]-(9CI) (CA INDEX NAME)



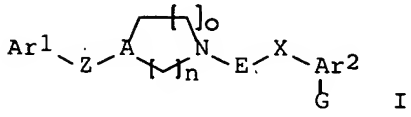
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:539658 CAPLUS Full-text
DOCUMENT NUMBER: 137:109294
TITLE: Preparation of aryl piperidines and piperazines as inducers of LDL-receptor expression
INVENTOR(S): Bouillot, Anne Marie Jeanne; Bombrun, Agnes; Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie
PATENT ASSIGNEE(S): Glaxosmithkline, UK
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055496	A1	20020718	WO 2001-GB158	20010115
WO 2002055496	A8	20030717		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001225369	A1	20020724	AU 2001-225369	20010115
EP 1351936	A1	20031015	EP 2001-900547	20010115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520347	T	20040708	JP 2002-556168	20010115
US 2004077654	A1	20040422	US 2003-250713	20031111
PRIORITY APPLN. INFO.:			WO 2001-GB158 W	20010115
OTHER SOURCE(S):	MARPAT 137:109294			

GI



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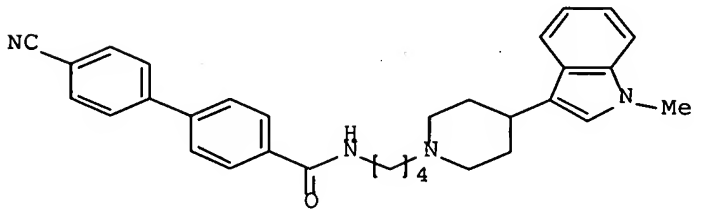
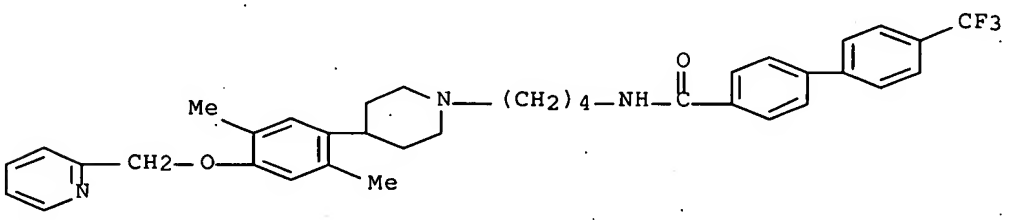


II

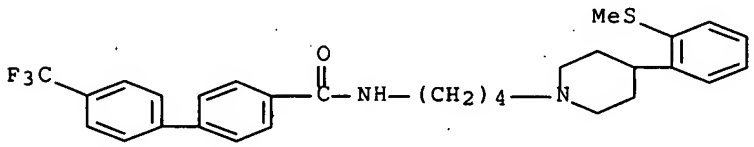
AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Z = a direct link, O, SO2, etc.; A = CR4, N; R4 = H, alkyl, OH, (un)substituted Ph; n = 1-3; o = 1-2; E = alkylene optionally containing 1-2 double bonds or one triple bond and optionally incorporating an O, S, NH, N(alkyl) in the chain; X = a direct link, O, NHCO, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; G = H, YAr3; Y = a direct link, O, alkylene, etc.; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.] and their physiol. acceptable salts, useful in the manufacture of a medicament for the treatment of diseases ameliorated by LDL-r upregulation, were prepared Thus, amidation of 4-[4-(1-methyl-1H-indol-3-yl)piperidin-1-yl]butylamine (preparation given) with 4'-cyanobiphenyl-4-carboxylic acid afforded 33% II which showed IC50 of 10 nM in assay for LDL-r promoting activity.

IT 443142-40-3P 443142-46-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl piperidines and piperazines as inducers of LDL-receptor expression)

RN 443142-40-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2,5-dimethyl-4-(2-pyridinylmethoxy)phenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 443142-46-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2-(methylthio)phenyl]-1-piperidinyl]butyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:539657 CAPLUS Full-text
DOCUMENT NUMBER: 137:109208
TITLE: Preparation of aryl piperidine derivatives as inducers of LDL-receptor expression
INVENTOR(S): Bouillot, Anne Marie Jeanne; Bombrun, Agnes; Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie
PATENT ASSIGNEE(S): Glaxosmithkline, UK; Glaxo Group Limited
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055495	A1	20020718	WO 2001-GB155	20010115
WO 2002055495	A8	20030717		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

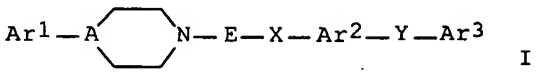
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001225367	A1	20020724	AU 2001-225367	20010115
EP 1351935	A1	20031015	EP 2001-900545	20010115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520346	T	20040708	JP 2002-556167	20010115
US 2004072865	A1	20040415	US 2003-250711	20031020
PRIORITY APPLN. INFO.:			WO 2001-GB155 W	20010115

OTHER SOURCE(S): MARPAT 137:109208

GI



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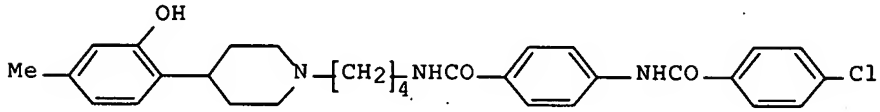


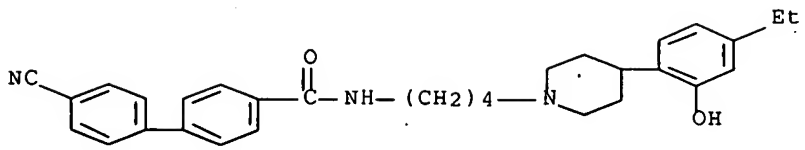
II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl; Ar3 = (un)substituted Ph, 5-6 membered heteroaryl; A = CH; E = alkylene; X = CONH, CON(alkyl), NHCO, N(alkyl)CO; Y = a direct link, NHCO, N(alkyl)CO, CONH, CON(alkyl)], useful in treating disorders associated with elevated circulating levels of LDL-cholesterol, were prepared and formulated. Thus, reacting 5-methyl-2-(pyridin-4-yl)phenol with 4-(4-chlorobenzoylamino)-N- (4-oxobutyl)benzamide (prepns. given) in the presence of NaBH(OAc)3 in THF and MeOH afforded 76% II.HCl which showed IC50 of 30 nM in vitro assay for LDL-r promoter activity.

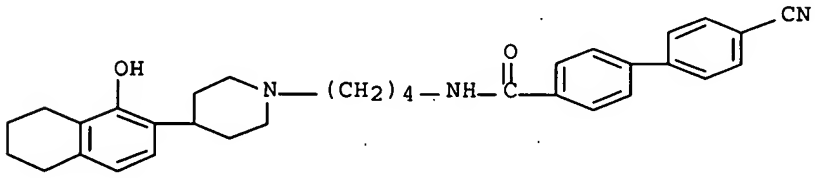
IT **443130-78-7P 443130-79-8P 443130-80-1P**
443130-82-3P 443150-51-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl piperidines as inducers of LDL-receptor expression)

RN 443130-78-7 CAPLUS

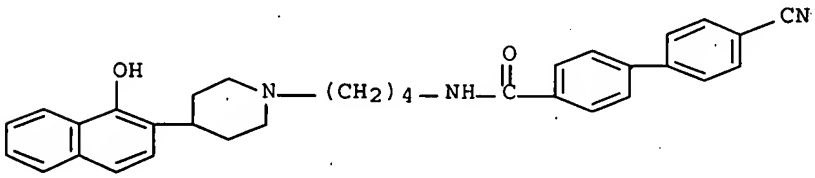
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(4-ethyl-2-hydroxyphenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



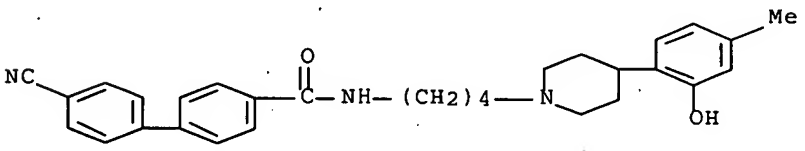
RN 443130-79-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



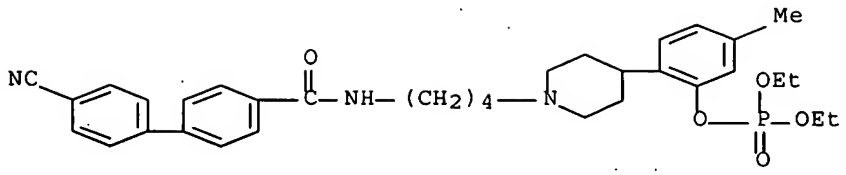
RN 443130-80-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443130-82-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-(2-hydroxy-4-methylphenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

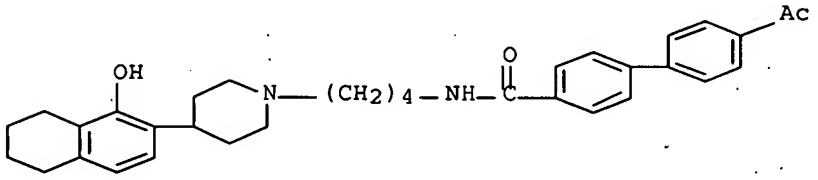


RN 443150-51-4 CAPLUS
CN Phosphoric acid, 2-[1-[4-[[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5-methylphenyl diethyl ester (9CI) (CA INDEX NAME)

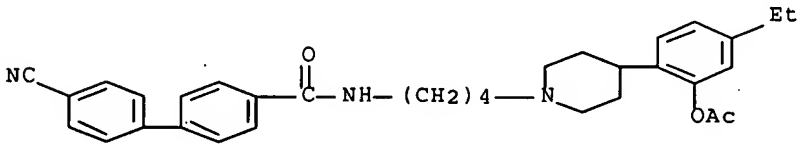


IT **443130-81-2P 443150-44-5P 443150-47-8P**
443150-50-3P 443150-52-5P 443150-53-6P
443150-54-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl piperidines as inducers of LDL-receptor expression)

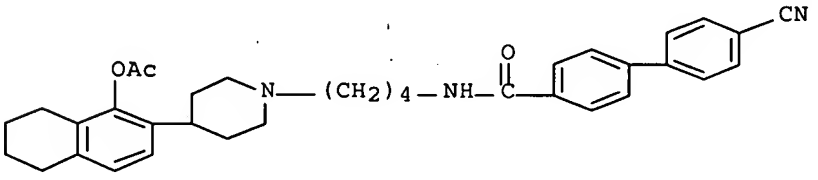
RN 443130-81-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-acetyl-N-[4-[4-(5,6,7,8-tetrahydro-1-hydroxy-2-naphthalenyl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443150-44-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2-(acetyloxy)-4-ethylphenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)

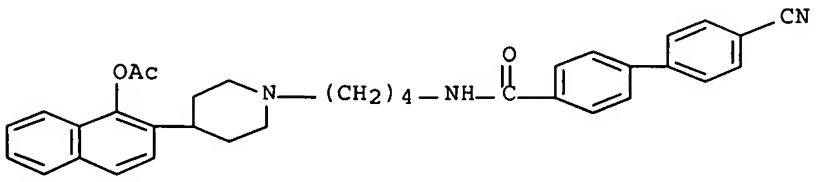


RN 443150-47-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(acetyloxy)-5,6,7,8-tetrahydro-2-naphthalenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)

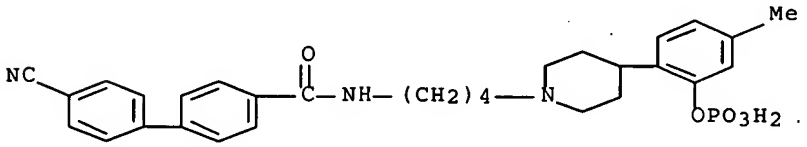


RN 443150-50-3 CAPLUS

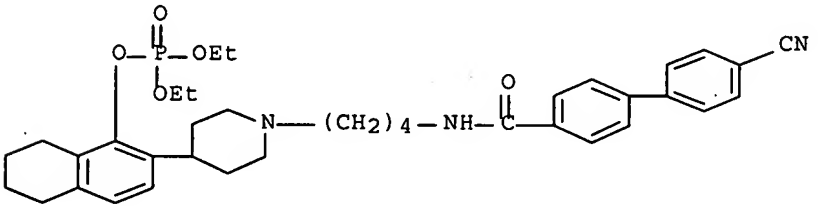
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[1-(acetyloxy)-2-naphthalenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)



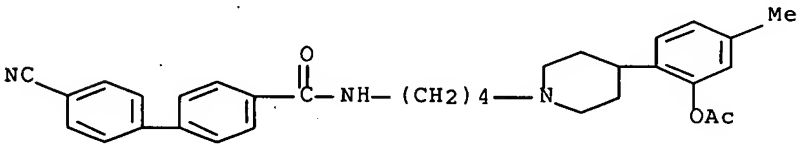
RN 443150-52-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[4-methyl-2-(phosphonooxy)phenyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 443150-53-6 CAPLUS
CN Phosphoric acid, 2-[1-[4-[[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]amino]butyl]-4-piperidinyl]-5,6,7,8-tetrahydro-1-naphthalenyl diethyl ester (9CI) (CA INDEX NAME)



RN 443150-54-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-[2-(acetyloxy)-4-methylphenyl]-1-piperidinyl]butyl]-4'-cyano- (9CI) (CA INDEX NAME)

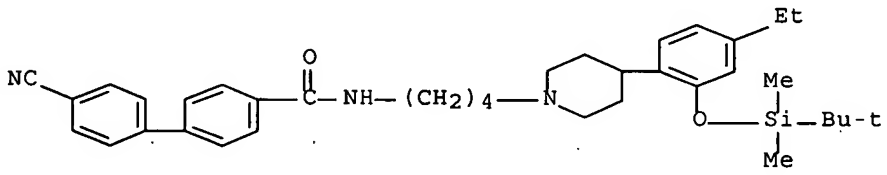


IT **443131-29-1P 443131-40-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl piperidines as inducers of LDL-receptor expression)

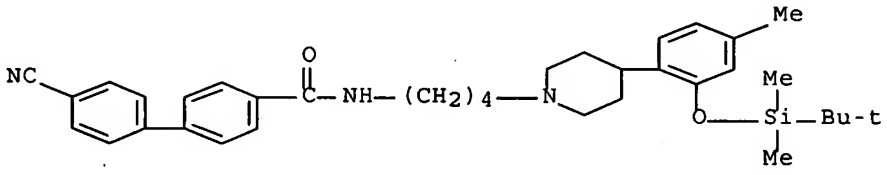
RN 443131-29-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-ethylphenyl]-1-piperidinyl]butyl]-(9CI) (CA INDEX NAME)



RN 443131-40-6 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-cyano-N-[4-[4-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-methylphenyl]-1-piperidinyl]butyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:228703 CAPLUS Full-text

DOCUMENT NUMBER: 134:252267

TITLE: Preparation of diarylalakanediamine derivatives as melanin concentrating hormone (MCH) antagonists

INVENTOR(S): Kato, Kaneyoshi; Mori, Masaaki; Suzuki, Nobuhiro; Shimomura, Yukio; Takekawa, Shiro; Choh, Nobuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021169	A1	20010329	WO 2000-JP6376	20000919

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2383147	A1	20010329	CA 2000-2383147	20000919
AU 2000073158	A	20010424	AU 2000-73158	20000919
JP 2002097138	A	20020402	JP 2000-288894	20000919
EP 1219294	A1	20020703	EP 2000-961076	20000919

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.:	JP 1999-266278	A	19990920
	JP 2000-221055	A	20000717
	WO 2000-JP6376	W	20000919

OTHER SOURCE(S): MARPAT 134:252267

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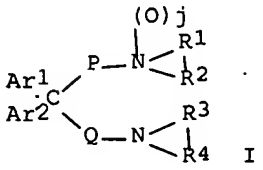


I

AB Compds. of general formula [I; wherein Ar1 and Ar2 are each an optionally substituted aromatic group; P and Q are each a divalent aliphatic hydrocarbon group which may contain ethereal oxygen or sulfur in the carbon chain and may be substituted; R1 and R3 are each (i) hydrogen, (ii) acyl, or (iii) optionally substituted hydrocarbyl; R2 and R4 are each (i) hydrogen, (ii) optionally substituted alkyl, or (iii) optionally substituted alkylcarbonyl; alternatively R1 and R2 or R3 and R4 together with the nitrogen atom adjacent thereto may form a monocyclic or fused nitrogenous heterocyclic group; and j is 0 or 1], salts of the same, or prodrugs thereof are prepared These compds. are useful for the treatment of diseases caused by MCH, e.g. obesity (as antiobesity agents) or overeating (as appetite depressants), or for the improvement of emotional disorders or sexual function. Thus, benzyl 2-[(5-hydroxy-2,2-diphenylpentyl)amino]-2- oxoethylcarbamate was brominated by Br and Ph3P in MeCN at room temperature for 1 h to give benzyl 2-[(5-bromo-2,2-diphenylpentyl)amino]-2- oxoethylcarbamate which was dissolved in MeCN, treated with 4-phenylpiperidine and K2CO3 in MeCN, and stirred at 40° overnight to give, after purification on alumina column chromatog. and conversion into the HCl, benzyl 2-[[2,2-diphenyl-5-(4-phenylpiperidino)pentyl]amino]-2- oxoethylcarbamate hydrochloride (II). II in vitro inhibited the binding of [35S]-guanosine 5'-(γ-thio)triphosphate to human somatostatin-like receptor (SLC-1) with IC50 of 5 nM. Tablet formulations containing II were described. .

IT **331629-33-5P**

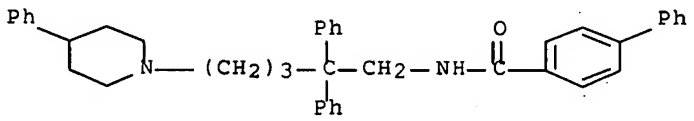
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylalakanediamine derivs. as melanin concentrating hormone (MCH)

antagonists for treating MCH-caused diseases)

RN 331629-33-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:594935 CAPLUS Full-text
DOCUMENT NUMBER: 131:228652
TITLE: Preparation of substituted piperidines for pharmaceutical use as opioid antagonists
INVENTOR(S): Carroll, Frank Ivy
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945925	A1	19990916	WO 1999-US5131	19990309
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2324418	A1	19990916	CA 1999-2324418	19990309
AU 9930738	A	19990927	AU 1999-30738	19990309
AU 756983	B2	20030130		
EP 1061919	A1	20001227	EP 1999-912345	19990309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002506032	T	20020226	JP 2000-535340	19990309
EP 1512683	A1	20050309	EP 2004-100891	19990309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
US 6900228	B1	20050531	US 2000-623872	19990309
US 2002165396	A1	20021107	US 2002-100097	20020319
US 6552032	B2	20030422		
US 2002169324	A1	20021114	US 2002-100096	20020319
US 6593348	B2	20030715		
US 2002193602	A1	20021219	US 2002-99948	20020319
US 6531481	B2	20030311		
US 2003158415	A1	20030821	US 2002-266774	20021009
US 2004146518	A1	20040729	US 2003-742782	20031223
PRIORITY APPLN. INFO.:			US 1998-77402P	P 19980310
			US 1998-107902P	P 19981110
			EP 1999-912345	A3 19990309
			WO 1999-US5131	W 19990309

US 2000-623872 A3 20001127
US 2002-99948 A1 20020319

OTHER SOURCE(S): MARPAT 131:228652
GI

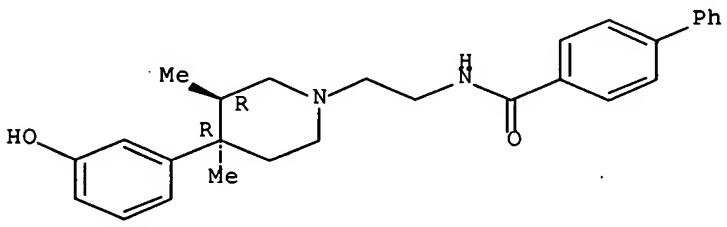
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine contg. heterocyclic compds. I [R1, R2 = H, alkyl, aryl, arylalkyl; R3 = alkyl, cycloalkyl, aryl, arylalkyl, etc.], II [R1 = alkyl, arylalkyl; R3, R4, R5, R6 = H, OH, NH2, CN, CF3, CN, NO2, alkyl, alkyloxy, halogen, amino, etc.; R7 = H, alkyl], and III [R1 = alkyl, arylalkyl; R2 = H, NH2, :O, alkyl, arylalkyl, amino, etc.] were prepared for use as opioid antagonists to treat a variety of disease states which involve the opioid receptors. Thus, the hydrochloride salt of piperidine IV [R3 = (CH2)2C6H4-4-OH], i.e. RTI 5989-29, was prepared starting from (+)-(3R,4R)-dimethyl-4-(3-hydroxyphenyl)piperidine, N-(tert- butoxycarbonyl)-L-valine, and 3-(4-hydroxyphenyl)propanoic acid. The prepared heterocyclic compds. containing a piperidine subunit were tested for κ-, μ-, and δ-opioid receptor binding activity.

IT **220122-95-2P 244048-85-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. containing a piperidine subunit for pharmaceutical use as opioid antagonists)

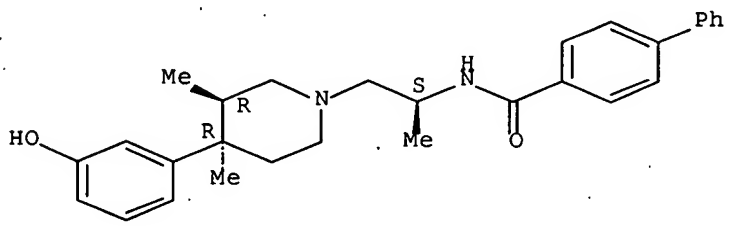
RN 220122-95-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



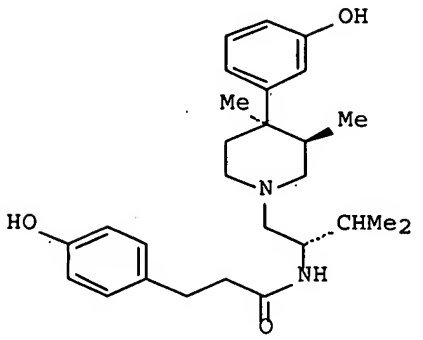
RN 244048-85-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-2-[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:749847 CAPLUS Full-text
DOCUMENT NUMBER: 130:139233
TITLE: Identification of an Opioid κ Receptor Subtype-Selective N-Substituent for (+)-(3R,4R)-Dimethyl-4-(3-hydroxyphenyl)piperidine
AUTHOR(S): Thomas, James B.; Fall, Michael J.; Cooper, Julie B.; Rothman, Richard B.; Mascarella, S. Wayne; Xu, Heng; Partilla, John S.; Dersch, Christina M.; McCullough, Karen B.; Cantrell, Buddy E.; Zimmerman, Dennis M.; Carroll, F. Ivy
CORPORATE SOURCE: Chemistry and Life Sciences Research Triangle Institute, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(26), 5188-5197
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

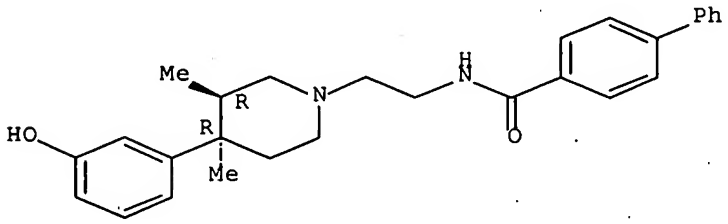
AB A three-component library of compds. was prepd. in parallel using multiple simultaneous solution-phase synthetic methodol. The compds. were biased toward opioid receptor antagonist activity by incorporating (+)-(3R,4R)-dimethyl-4-(3-hydroxyphenyl)piperidine (a potent, nonselective opioid pure antagonist) as one of the monomers. The other two monomers were N-substituted or unsubstituted Boc-protected amino acids and a range of substituted aryl carboxylic acids and were selected to add chemical diversity. Screening of these compds. in competitive binding expts. with the κ opioid receptor selective ligand [3H]U69,593 led to the discovery of a novel κ opioid receptor selective ligand, RTI-5989-29 (I). Addnl. structure-activity relationship studies suggested that I possesses lipophilic and hydrogen-bonding sites that are important to its opioid receptor potency and selectivity. These sites appear to exist predominantly within the κ receptor since the selectivity arises from a 530-fold loss of affinity of I for the μ receptor and an 18-fold increase in affinity for the κ receptor relative to the μ-selective ligand, (+)-N-[trans-4-phenyl-2-butenyl]-(3R,4R)-dimethyl-4-(3-hydroxyphenyl)piperidine. The degree of selectivity observed in the radioligand binding expts. was not observed in the functional assay. According

to its ability to inhibit agonist stimulated binding of [35S]GTPγS at all three opioid receptors, I behaves as a μ/κ opioid receptor pure antagonist with negligible affinity for the δ receptor.

IT **220122-95-2P 220125-21-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of an opioid antagonist combinatorial library of acylaminoethylpiperidinylphenols)

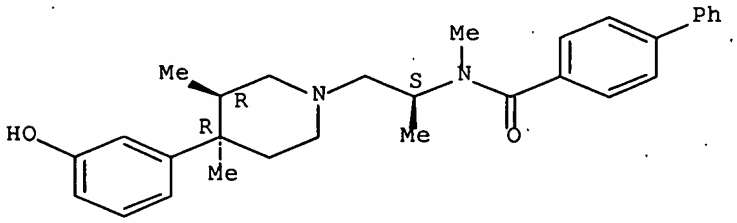
RN 220122-95-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220125-21-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-2-[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]-1-methylethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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